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* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	DEC 01	ChemPort single article sales feature unavailable
NEWS	3	JAN 06	The retention policy for unread STNmail messages will change in 2009 for STN-Columbus and STN-Tokyo
NEWS	4	JAN 07	WPIDS, WPINDEX, and WPIX enhanced Japanese Patent Classification Data
NEWS	5	FEB 02	Simultaneous left and right truncation (SLART) added for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
NEWS	6	FEB 02	GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS	7	FEB 06	Patent sequence location (PSL) data added to USGENE
NEWS	8	FEB 10	COMPENDEX reloaded and enhanced
NEWS	9	FEB 11	WTEXTILES reloaded and enhanced
NEWS	10	FEB 19	New patent-examiner citations in 300,000 CA/CAplus patent records provide insights into related prior art
NEWS	11	FEB 19	Increase the precision of your patent queries -- use terms from the IPC Thesaurus, Version 2009.01
NEWS	12	FEB 23	Several formats for image display and print options discontinued in USPATFULL and USPAT2
NEWS	13	FEB 23	MEDLINE now offers more precise author group fields and 2009 MeSH terms
NEWS	14	FEB 23	TOXCENTER updates mirror those of MEDLINE - more precise author group fields and 2009 MeSH terms
NEWS	15	FEB 23	Three million new patent records blast AEROSPACE into STN patent clusters
NEWS	16	FEB 25	USGENE enhanced with patent family and legal status display data from INPADOCDB
NEWS	17	MAR 06	INPADOCDB and INPAFAMDB enhanced with new display formats
NEWS	18	MAR 11	EPFULL backfile enhanced with additional full-text applications and grants
NEWS	19	MAR 11	ESBIOBASE reloaded and enhanced
NEWS	20	MAR 20	CAS databases on STN enhanced with new super role for nanomaterial substances
NEWS	21	MAR 23	CA/CAplus enhanced with more than 250,000 patent equivalents from China
NEWS	22	MAR 30	IMSPATENTS reloaded and enhanced
NEWS	23	APR 03	CAS coverage of exemplified prophetic substances enhanced
NEWS	24	APR 07	STN is raising the limits on saved answers

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items

NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 08:31:03 ON 15 APR 2009

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.22	0.22

FILE 'REGISTRY' ENTERED AT 08:31:15 ON 15 APR 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 14 APR 2009 HIGHEST RN 1134418-75-9
DICTIONARY FILE UPDATES: 14 APR 2009 HIGHEST RN 1134418-75-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

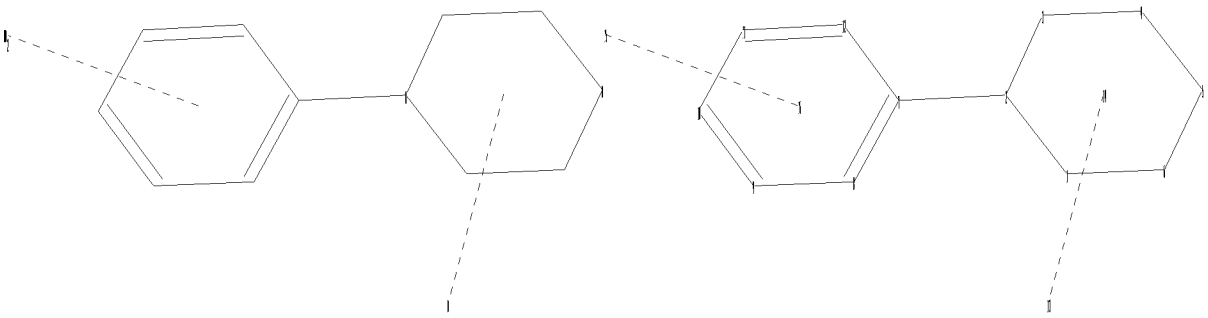
TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\QUERIES\105678481.str



chain nodes :

```
13  15
ring nodes :
1  2  3  4  5  6  7  8  9  10  11  12
chain bonds :
2-7
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  7-8  7-12  8-9  9-10  10-11  11-12
exact/norm bonds :
1-2  1-6  2-3  2-7  3-4  4-5  5-6
normalized bonds :
7-8  7-12  8-9  9-10  10-11  11-12


Match level :
1:CLASS  2:CLASS  3:CLASS  4:CLASS  5:CLASS  6:CLASS  7:CLASS  8:CLASS  9:CLASS
10:CLASS  11:CLASS  12:CLASS  13:CLASS  14:CLASS  15:CLASS  16:CLASS



L1      STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1              STR
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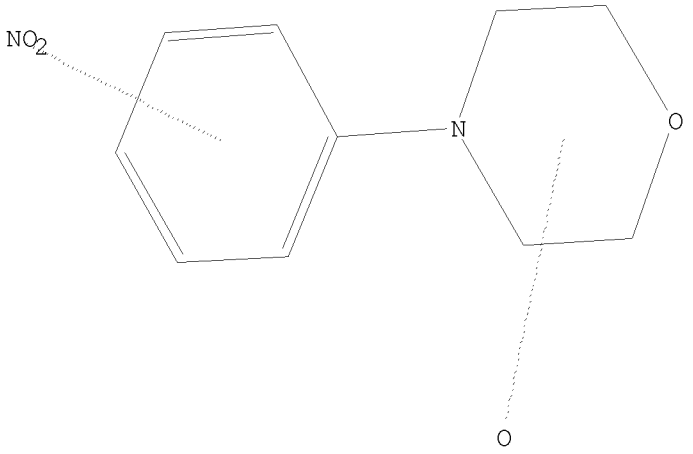


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Structure attributes must be viewed using STN Express query preparation.


=> s l1
SAMPLE SEARCH INITIATED 08:31:46 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      887 TO ITERATE

100.0% PROCESSED      887 ITERATIONS                                3 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        15954 TO    19526
PROJECTED ANSWERS:               3 TO      163

L2            3 SEA SSS SAM L1

=> s l1 full
```

```
FULL SEARCH INITIATED 08:31:49 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    17886 TO ITERATE

100.0% PROCESSED    17886 ITERATIONS                                 50 ANSWERS
SEARCH TIME: 00.00.01

L3           50 SEA SSS FUL L1

=> s l3 and caplus/lc
      65173951 CAPLUS/LC
L4           36 L3 AND CAPLUS/LC

=> s l3 not l4
L5           14 L3 NOT L4

=> d l5 1-14
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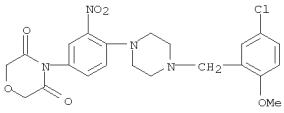
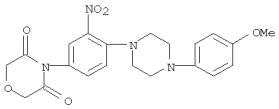
L5 ANSWER 1 OF 14 REGISTRY COPYRIGHT 2009 ACS on STN
RN 899195-51-8 REGISTRY
ED Entered STN: 07 Aug 2006
CN INDEX NAME NOT YET ASSIGNED
MF C22 H23 Cl N4 O6
SR Chemical Library
Supplier: Aurora Fine Chemicals
LC STN Files: CHEMCATS



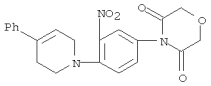
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 ANSWER 2 OF 14 REGISTRY COPYRIGHT 2009 ACS on STN
RN 899195-43-8 REGISTRY
ED Entered STN: 07 Aug 2006
CN 3,5-Morpholinedione, 4-[4-[4-(4-methoxyphenyl)-1-piperazinyl]-3-nitrophenyl]- (CA INDEX NAME)
MF C21 H22 N4 O6
SR Chemical Library
Supplier: Aurora Fine Chemicals
LC STN Files: CHEMCATS



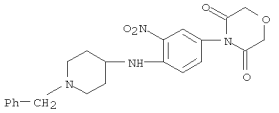
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 ANSWER 3 OF 14 REGISTRY COPYRIGHT 2009 ACS on STN
RN 899195-36-9 REGISTRY
ED Entered STN: 07 Aug 2006
CN 3,5-Morpholinedione, 4-[4-(3,6-dihydro-4-phenyl-1(2H)-pyridinyl)-3-nitrophenyl]- (CA INDEX NAME)
MF C21 H19 N3 O5
SR Chemical Library
Supplier: Aurora Fine Chemicals
LC STN Files: CHEMCATS



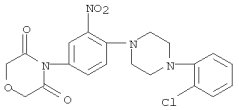
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 ANSWER 4 OF 14 REGISTRY COPYRIGHT 2009 ACS on STN
RN 899195-28-9 REGISTRY
ED Entered STN: 07 Aug 2006
CN 3,5-Morpholinedione, 4-[3-nitro-4-[[1-(phenylmethyl)-4-piperidinyl]amino]phenyl]- (CA INDEX NAME)
MF C22 H24 N4 O5
SR Chemical Library
Supplier: Aurora Fine Chemicals
LC STN Files: CHEMCATS



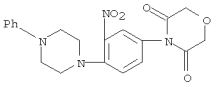
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 ANSWER 5 OF 14 REGISTRY COPYRIGHT 2009 ACS on STN
RN 899195-20-1 REGISTRY
ED Entered STN: 07 Aug 2006
CN 3,5-Morpholinedione, 4-[4-[4-(2-chlorophenyl)-1-piperazinyl]-3-nitrophenyl]- (CA INDEX NAME)
MF C20 H19 Cl N4 O5
SR Chemical Library
Supplier: Aurora Fine Chemicals
LC STN Files: CHEMCATS



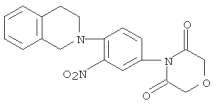
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 ANSWER 6 OF 14 REGISTRY COPYRIGHT 2009 ACS on STN
RN 850781-15-6 REGISTRY
ED Entered STN: 19 May 2005
CN 3,5-Morpholinedione, 4-[3-nitro-4-(4-phenyl-1-piperazinyl)phenyl]- (CA INDEX NAME)
MF C20 H20 N4 O5
SR Chemical Library
Supplier: Interchim
LC STN Files: CHEMCATS



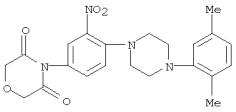
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 ANSWER 7 OF 14 REGISTRY COPYRIGHT 2009 ACS on STN
RN 697293-95-1 REGISTRY
ED Entered STN: 22 Jun 2004
CN 3,5-Morpholinedione, 4-[4-(3,4-dihydro-2(1H)-isoquinolinyl)-3-nitrophenyl]- (CA INDEX NAME)
MF C19 H17 N3 O5
SR Chemical Library
Supplier: ChemDiv, Inc.



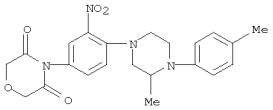
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 ANSWER 8 OF 14 REGISTRY COPYRIGHT 2009 ACS on STN
RN 697293-94-0 REGISTRY
ED Entered STN: 22 Jun 2004
CN 3,5-Morpholinedione, 4-[4-[4-(2,5-dimethylphenyl)-1-piperazinyl]-3-nitrophenyl]- (CA INDEX NAME)
MF C22 H24 N4 O5
SR Chemical Library
Supplier: ChemDiv, Inc.
LC STN Files: CHEMCATS



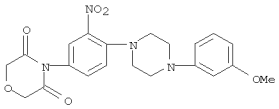
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 ANSWER 9 OF 14 REGISTRY COPYRIGHT 2009 ACS on STN
RN 697293-93-9 REGISTRY
ED Entered STN: 22 Jun 2004
CN 3,5-Morpholinedione, 4-[4-[3-methyl-4-(4-methylphenyl)-1-piperazinyl]-3-nitrophenyl]- (CA INDEX NAME)
MF C22 H24 N4 O5
SR Chemical Library
Supplier: ChemDiv, Inc.
LC STN Files: CHEMCATS



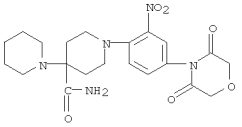
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 ANSWER 10 OF 14 REGISTRY COPYRIGHT 2009 ACS on STN
RN 697293-92-8 REGISTRY
ED Entered STN: 22 Jun 2004
CN 3,5-Morpholinedione, 4-[4-[4-(3-methoxyphenyl)-1-piperazinyl]-3-nitrophenyl]- (CA INDEX NAME)
MF C21 H22 N4 O6
SR Chemical Library
Supplier: ChemDiv, Inc.
LC STN Files: CHEMCATS



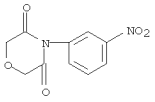
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 ANSWER 11 OF 14 REGISTRY COPYRIGHT 2009 ACS on STN
RN 697293-91-7 REGISTRY
ED Entered STN: 22 Jun 2004
CN [1,4'-Bipiperidine]-4'-carboxamide, 1'-[4-(3,5-dioxo-4-morpholinyl)-2-nitrophenyl]- (CA INDEX NAME)
MF C21 H27 N5 O6
SR Chemical Library
Supplier: ChemDiv, Inc.
LC STN Files: CHEMCATS



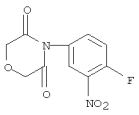
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 ANSWER 12 OF 14 REGISTRY COPYRIGHT 2009 ACS on STN
RN 341019-45-2 REGISTRY
ED Entered STN: 14 Jun 2001
CN 3,5-Morpholinedione, 4-(3-nitrophenyl)- (CA INDEX NAME)
MF C10 H8 N2 O5
SR Chemical Library
Supplier: Scientific Exchange, Inc.
LC STN Files: CHEMCATS



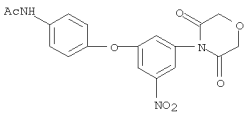
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 ANSWER 13 OF 14 REGISTRY COPYRIGHT 2009 ACS on STN
RN 341019-43-0 REGISTRY
ED Entered STN: 14 Jun 2001
CN 3,5-Morpholinedione, 4-(4-fluoro-3-nitrophenyl)- (CA INDEX NAME)
MF C10 H7 F N2 O5
SR Chemical Library
Supplier: Scientific Exchange, Inc.
LC STN Files: CHEMCATS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 ANSWER 14 OF 14 REGISTRY COPYRIGHT 2009 ACS on STN
RN 313249-13-7 REGISTRY
ED Entered STN: 09 Jan 2001
CN Acetamide, N-[4-[3-(3,5-dioxo-4-morpholinyl)-5-nitrophenoxy]phenyl]- (CA INDEX NAME)
MF C18 H15 N3 O7
SR Chemical Library
Supplier: Nanosyn Combinatorial Synthesis Inc.
LC STN Files: CHEMCATS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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=> fil caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   220.41     220.63

FILE 'CAPLUS' ENTERED AT 08:32:28 ON 15 APR 2009
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FILE COVERS 1907 - 15 Apr 2009  VOL 150 ISS 16
FILE LAST UPDATED: 14 Apr 2009  (20090414/ED)

Caplus now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2008.

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http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> d his

     (FILE 'HOME' ENTERED AT 08:31:03 ON 15 APR 2009)

     FILE 'REGISTRY' ENTERED AT 08:31:15 ON 15 APR 2009
L1              STRUCTURE UPLOADED
L2            3 S L1
L3           50 S L1 FULL
L4           36 S L3 AND CAPLUS/LC
L5           14 S L3 NOT L4

     FILE 'CAPLUS' ENTERED AT 08:32:28 ON 15 APR 2009

=> s l4
L6          36 L4

=> d ibib abs hitstr 1-36
```
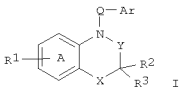

L6 ANSWER 1 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:292258 CAPLUS
DOCUMENT NUMBER: 150:329820
TITLE: Preparation of benzoxazine derivatives and analogs as regulators of mineralocorticoid receptor for treatment of hypertension, heart failure, myocardial infarction, etc.
INVENTOR(S): Iijima, Toru; Yamamoto, Yasuo; Akatsuka, Hidenori; Kawaguchi, Takayuki
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 140pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2009051830	A	20090312	JP 2008-197142	20080731
PRIORITY APPLN. INFO.:			JP 2007-200263 A	20070801

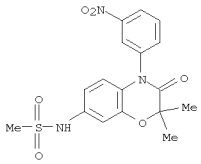
GI



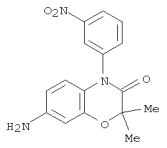
I

AB The title compds. I [ring A = benzene ring which may optionally have substituents in addition to R1, N-containing 6-membered aromatic heterocyclic ring which may optionally have substituents in addition to R1; R1 = RaSO2NH, RaSO2NHCH2, (Rb)(Rc)NSO2; Ra = alkyl, cycloalkyl, (un)substituted aryl, etc.; Rb, Rc = H, alkyl, cycloalkyl; R2, R3 = H, halo, (un)substituted alkyl, etc.; or CR2R3 = (un)saturated ring which may have 1 or 2 heteroatoms; X = O, S, methylene, etc.; Y = CO, CS, CHR5; R5 = H, alkyl, (un)substituted aryl; Ar = (un)substituted aryl, (un)substituted heteroaryl; Q = single bond, alkylene, alkenylene] are prepared I are mineralocorticoid/aldosterone antagonists. Thus, N-(2,2-dimethyl-3-oxo-4-phenyl-3,4-dihydro-2H-1,4-benzoxazin-7-yl)methanesulfonamide was prepared in a multistep process starting with 2-amino-5-nitrophenol and α-bromoisobutyric acid Et ester. In an aldosterone receptor binding assay, 23 compds. of this invention showed Ki values ≤ 0.5 μM.
IT 945968-44-5P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of benzoxazine derivs. and analogs as regulators of mineralocorticoid receptor for treatment of hypertension, heart

L6 ANSWER 1 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
failure, myocardial infarction, etc.)
RN 945968-44-5 CAPLUS
CN Methanesulfonamide, N-[3,4-dihydro-2,2-dimethyl-4-(3-nitrophenyl)-3-oxo-2H-1,4-benzoxazin-7-yl]- (CA INDEX NAME)



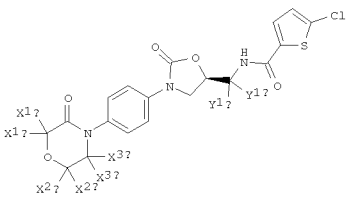
IT 945970-03-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzoxazine derivs. and analogs as regulators of mineralocorticoid receptor for treatment of hypertension, heart failure, myocardial infarction, etc.)
RN 945970-03-6 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 7-amino-2,2-dimethyl-4-(3-nitrophenyl)- (CA INDEX NAME)



L6 ANSWER 2 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:198293 CAPLUS
DOCUMENT NUMBER: 150:237590
TITLE: Preparation of deuterated Rivaroxaban derivatives as inhibitors of factor Xa.
INVENTOR(S): Masse, Craig E.
PATENT ASSIGNEE(S): Concert Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 43pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009023233	A1	20090219	WO 2008-US9704	20080814
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRIORITY APPLN. INFO.:			US 2007-964693P P	20070814

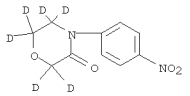
OTHER SOURCE(S): MARPAT 150:237590
GI



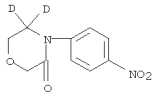
I

AB Title compds. (I; X1a, X1b, X2a, X2b, X3a, X3b, Y1a, Y1b = H, D; ≥1 of X1a, X1b, X2a, X2b, X3a, X3b, Y1a, Y1b = D), were prepared Thus, I (X1a, X1b, X2a, X2b, X3a, X3b = D; Y1a, Y1b = H) (multistep preparation from 4-FC6H4NO2, morpholine-d8, (R)-epichlorohydrin, and 5-chlorothiophene-2-carboxylic acid given) showed a Cmax of 962 ng/mL, vs 842 ng/mL for Rivaroxaban in a pharmacokinetic evaluation in rats

L6 ANSWER 2 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
following oral administration.
IT 1115228-38-0P 1115228-45-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of deuterated Rivaroxaban derivs. as inhibitors of factor Xa)
RN 1115228-38-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



RN 1115228-45-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:1072803 CAPLUS
DOCUMENT NUMBER: 149:332353
TITLE: Preparation of N,N'-diphenylpyrimidinediamine derivatives for use as antiproliferative agents
INVENTOR(S): Ashton, Susan Elizabeth; Barlaam, Bernard Christophe; Cross, Darren Anthony Edward; Ducray, Richard; East, Simon John; Kettle, Jason Grant; Pearson, Mark Andrew; Purkiss, Stuart Charles; Wedge, Stephen Robert
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 224pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

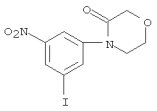
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008104754	A1	20080904	WO 2008-GB638	20080227
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20080242663	A1	20081002	US 2008-39030	20080228
PRIORITY APPLN. INFO.:			EP 2007-300832 A	20070228
			EP 2007-300833 A	20070228
			EP 2007-300960 A	20070418
			EP 2007-301269 A	20070724
			EP 2007-301270 A	20070724

OTHER SOURCE(S): MARPAT 149:332353
GI

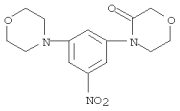
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 = (un)substituted alkyl, cycloalkyl, or cyclopropylmethyl; each R2 independently = F, Cl, alkyl, alkoxy, etc.; R3 = H, halo, NO2, (un)substituted alkyl, etc.; R4 = NR7R8; R7 and R8 form a (un)substituted heterocyclic ring along with the nitrogen to which they are attached, optionally containing one or two further heteroatoms selected from O, N, S, S(O), or SO2; n = 0 to 3], and their pharmaceutically acceptable salts, are prepared and disclosed as antiproliferative agents.

L6 ANSWER 3 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
Thus, e.g., II was prepd. by amination of 2,4-dichloropyrimidine with 3-chloro-2,4-difluoroaniline followed by alkylation with iodomethane and amination with 3-morpholin-4-yl-5-thiomorpholin-4-ylaniline (prepn. given). Select I were evaluated in EphB4 enzyme assays (in vitro) is described (biodata included). I were disclosed for use as an antiproliferative agent in the prevention or treatment of tumors or other proliferative conditions which are sensitive to the inhibition of EphB4 kinases.
IT 1051899-21-8P 1051899-23-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N,N'-diphenylpyrimidinediamine derivs. for use as antiproliferative agents)
RN 1051899-21-8 CAPLUS
CN 3-Morpholinone, 4-(3-iodo-5-nitrophenyl)- (CA INDEX NAME)



RN 1051899-23-0 CAPLUS
CN 3-Morpholinone, 4-[3-(4-morpholinyl)-5-nitrophenyl]- (CA INDEX NAME)

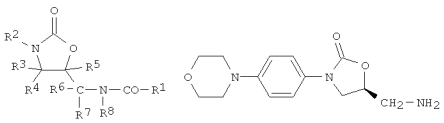


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:556060 CAPLUS
DOCUMENT NUMBER: 148:538247
TITLE: Preparation of oxazolidinones for the treatment of thromboembolic disorders
INVENTOR(S): Perzborn, Elisabeth
PATENT ASSIGNEE(S): Bayer Healthcare AG, Germany
SOURCE: PCT Int. Appl., 120pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

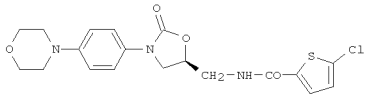
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008052671	A2	20080508	WO 2007-EP9068	20071019
WO 2008052671	A3	20080703		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
DE 102006051625	A1	20080508	DE 2006-102006051625	20061102
PRIORITY APPLN. INFO.:			DE 2006-102006051625A	20061102

OTHER SOURCE(S): MARPAT 148:538247
GI



I

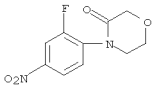
II



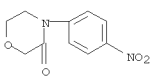
III

AB Title compds. I [R1 = substituted 2-thiophen; R2 = D-A; A = phenylene; D =

L6 ANSWER 4 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
5 or 6-membered heterocycles; R3, R4, R5, R6, R7, R8 = H] and their pharmaceutically acceptable salts and formulations were prepd. For example, coupling of amine II and 2-chloro-5-carboxythiophene afforded oxazolidinone III. In a blood-coagulation factor Xa assay, oxazolidinone III exhibited an IC50 value of 43 nM.
IT 1023374-86-8, 3-Fluoro-4-(3-oxo-4-morpholinyl)nitrobenzene
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of oxazolidinones for the treatment of thromboembolic disorders)
RN 1023374-86-8 CAPLUS
CN 3-Morpholinone, 4-(2-fluoro-4-nitrophenyl)- (CA INDEX NAME)



IT 446292-04-2P, 4-(3-Oxo-4-morpholinyl)nitrobenzene
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of oxazolidinones for the treatment of thromboembolic disorders)
RN 446292-04-2 CAPLUS
CN 3-Morpholinone, 4-(4-nitrophenyl)- (CA INDEX NAME)



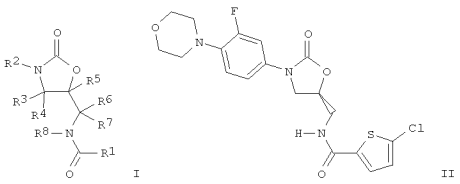
L6 ANSWER 5 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:317641 CAPLUS
DOCUMENT NUMBER: 148:285176
TITLE: Preparation of substituted oxazolidinones for use in treatment of disorders associated with blood coagulation
INVENTOR(S): Straub, Alexander; Lampe, Thomas; Pohlmann, Jens; Roehrig, Susanne; Perzborn, Elisabeth; Schlemmer, Karl-Heinz; Pernerstorfer, Joseph
PATENT ASSIGNEE(S): Bayer Healthcare AG, Germany
SOURCE: U.S., 71pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 7157456	B2	20070102	US 2002-181051	20020624
US 20030153610	A1	20030814		
DE 19962924	A1	20010705	DE 1999-19962924	19991224
WO 2001047919	A1	20010705	WO 2000-EP12492	20001211
WO 2001047919	A9	20021219		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2004202422	A1	20040624	AU 2004-202422	20040602
AU 2004202422	B2	20071122		
US 20060258724	A1	20061116	US 2006-460529	20060727
US 20080090815	A1	20080417	US 2007-932082	20071031
US 20080200674	A1	20080821	US 2008-27553	20080207

PRIORITY APPLN. INFO.:
DE 1999-19962924 A 19991224
WO 2000-EP12492 W 20001211
AU 2001-28414 A3 20001211
US 2002-181051 A3 20020624
US 2006-460529 A3 20060727

OTHER SOURCE(S): MARPAT 148:285176
GI

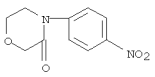


I II

AB Title compds. I [R1 = (un)substituted benzofused thiophene; R2 = mono or polysubstituted aryl ring wherein when monosubstituted the substituent is a covalently bound heterocycle; R3-8 independently = H or alkyl], and their pharmaceutically acceptable salts, are prepared and disclosed for use in treatment of diseases related to the field of blood coagulation disorders. Thus, e.g., II was prepared by amidation of (5S)-5-(aminomethyl)-3-(3-fluoro-4-morpholinophenyl)-1,3-oxazolidin-2-one with 5-chlorothiophene-2-carboxylic acid. I were evaluated for their antithrombotic activity, e.g., II demonstrated an ED50 value of 10 mg/kg i.v.

IT 446292-04-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted oxazolidinones for use in treatment of disorders associated with blood coagulation)

RN 446292-04-2 CAPLUS
CN 3-Morpholinone, 4-(4-nitrophenyl)- (CA INDEX NAME)



REFERENCE COUNT: 150 THERE ARE 150 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

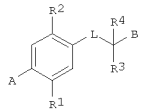
L6 ANSWER 6 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:255451 CAPLUS
DOCUMENT NUMBER: 148:308352
TITLE: Preparation of 1-phenyl-1,2,3-triazoles and related compounds as factor Xa inhibitors
INVENTOR(S): Dahmann, Georg; Gerlach, Kai; Pfau, Roland; Priepke, Henning; Wienen, Wolfgang; Schuler-Metz, Annette; Nar, Herbert
PATENT ASSIGNEE(S): Germany
SOURCE: U.S. Pat. Appl. Publ., 74pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

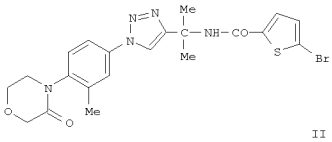
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20080051578	A1	20080228	US 2006-466923	20060824

PRIORITY APPLN. INFO.:
US 2006-466923 20060824

OTHER SOURCE(S): MARPAT 148:308352
GI



I



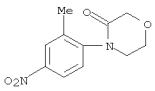
II

AB Title compds. I [A = substituted pyrrolidones, thiopyrrolidones, etc.; B = G-T; G = N(R4b)CO, N(R4b)CON(R4b), N(R4b)SO2; R4b = H, alkyl; T = monocyclic 5 or 6-membered heteroaryl, phenyl; L = 5-membered monocyclic heteroaryl group with provisos; R1 = H, halo, alkyl, etc.; R2 = H, halo, alkyl, etc.; R3, R4 = H, alkenyl, alkynyl, etc.; and their tautomers, enantiomers, diastereomers, and mixts., and their pharmaceutically acceptable salts] were prepared as factor Xa inhibitors for treating thrombosis. For example, 1-phenyl-1,2,3-triazole II was prepared from 2-bromo-5-carboxythiophene in 6-steps. All the compds. I tested for their effect on the inhibition of factor Xa had an IC50 < 100 μmol/L.

L6 ANSWER 6 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

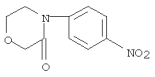
IT 845729-40-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of phenyltriazoles and related compds. as factor Xa inhibitors)

RN 845729-40-0 CAPLUS
CN 3-Morpholinone, 4-(2-methyl-4-nitrophenyl)- (CA INDEX NAME)



IT 446292-04-2P
RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prophetic intermediate; preparation of phenyltriazoles and related compds. as factor Xa inhibitors)

RN 446292-04-2 CAPLUS
CN 3-Morpholinone, 4-(4-nitrophenyl)- (CA INDEX NAME)



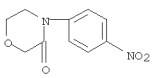
L6 ANSWER 7 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:192787 CAPLUS
DOCUMENT NUMBER: 148:381767
TITLE: Practical and efficient processes for the preparation of 4-(4-aminophenyl)morpholin-3-ones on a larger scale: precursor of factor Xa inhibitors
AUTHOR(S): Mederski, Werner W. K. R.; Wendel, Peter Ludwig; Woissyk, Markus
CORPORATE SOURCE: Preclinical Pharmaceutical Research, Merck KGaA, Darmstadt, 64271, Germany
SOURCE: Heterocycles (2007), 74, 437-445
CODEN: HTCYAM; ISSN: 0385-5414
PUBLISHER: Japan Institute of Heterocyclic Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 148:381767

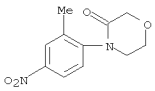
AB Factor Xa inhibitors are interesting targets for the development of antithrombotic agents. Work on the discovery of small mol. inhibitors led to the compds. EMD 495235 and EMD 503982, which entered preclin. and clin. studies, resp. Therefore, kilograms of both drugs, especially 4-(4-aminophenyl)morpholin-3-one moieties have to be provided. The scale-up results of these special P-4 ligands are described.

IT 446292-04-2P, 4-(4-Nitrophenyl)morpholin-3-one
845729-40-0P, 4-(2-Methyl-4-nitrophenyl)morpholin-3-one
RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT
(Reactant or reagent)
(efficient process scale-up for preparation of (aminophenyl)morpholinone precursors of factor Xa inhibitors)

RN 446292-04-2 CAPLUS
CN 3-Morpholinone, 4-(4-nitrophenyl)- (CA INDEX NAME)



RN 845729-40-0 CAPLUS
CN 3-Morpholinone, 4-(2-methyl-4-nitrophenyl)- (CA INDEX NAME)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

L6 ANSWER 8 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN

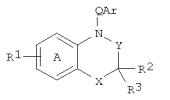
ACCESSION NUMBER: 2007:874181 CAPLUS
DOCUMENT NUMBER: 147:257784
TITLE: Preparation of benzoxazines and related nitrogen-containing heterobicyclic compounds as mineralocorticoid receptor modulators.
INVENTOR(S): Iijima, Toru; Yamamoto, Yasuo; Akatsuka, Hidenori; Kawaguchi, Takayuki
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: PCT Int. Appl., 140pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007089034	A1	20070809	WO 2007-JP52165	20070201
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2007210424	A1	20070809	AU 2007-210424	20070201
CA 2636985	A1	20070809	CA 2007-2636985	20070201
JP 2008115149	A	20080522	JP 2007-22530	20070201
EP 1984345	A1	20081029	EP 2007-713915	20070201
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
US 20090023716	A1	20090122	US 2008-162779	20080730
CN 101379048	A	20090304	CN 2007-80004069	20080731
MX 2008010022	A	20080819	MX 2008-10022	20080801
KR 2008083698	A	20080918	KR 2008-719085	20080801
IN 2008CN04059	A	20090313	IN 2008-CN4059	20080801

PRIORITY APPLN. INFO.: JP 2006-25403 A 20060202
JP 2006-275917 A 20061010
WO 2007-JP52165 W 20070201

OTHER SOURCE(S): MARPAT 147:257784
GI

L6 ANSWER 8 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

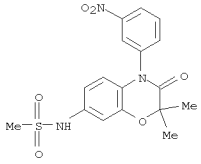


I

AB Title compds. [I; Ring A = benzene ring or N-containing 6-membered aromatic ring optionally having a substituent(s) other than R1; R1 = RaSO2NH, RaSO2NHCH2, RbRcNSO2; Ra = alkyl, cycloalkyl, amino, (substituted) aryl, heteroaryl; R2, R3 = H, CO2H, halo, (substituted) alkyl, alkenyl, carbamoyl, aryl, etc.; X = O, S, CH2, NR4; Y = CO, CS, CHR5; Ar = (substituted) aryl, heteroaryl; Q = bond, alkylene, alkenylene; R4 = H, alkyl, (substituted) aralkyl, acyl; R5 = H, alkyl, (substituted) aryl; with specific exceptions], were prepd and disclosed as mineralocorticoid receptor modulators. Thus, 7-amino-2,2-dimethyl-4-phenyl-2H-1,4-benzoxazin-3(4H)-one (preparation given) in CHCl3 was treated with MeSO2Cl and pyridine under ice cooling followed by stirring at room temperature for 18 h to give N-(2,2-dimethyl-3-oxo-4-phenyl-3,4-dihydro-2H-1,4-benzoxazin-7-yl)methanesulfonamide. Numerous I showed Ki's of <0.5 μM for aldosterone receptor binding.

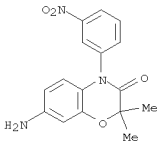
IT 945968-44-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzoxazines and related nitrogen-containing heterobicyclic compds. as mineralocorticoid receptor modulators)

RN 945968-44-5 CAPLUS
CN Methanesulfonamide, N-[3,4-dihydro-2,2-dimethyl-4-(3-nitrophenyl)-3-oxo-2H-1,4-benzoxazin-7-yl]- (CA INDEX NAME)



IT 945970-03-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzoxazines and related nitrogen-containing heterobicyclic

L6 ANSWER 8 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
compds. as mineralocorticoid receptor modulators)
RN 945970-03-6 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 7-amino-2,2-dimethyl-4-(3-nitrophenyl)- (CA INDEX NAME)



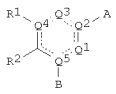
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L6 ANSWER 9 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:561754 CAPLUS
DOCUMENT NUMBER: 147:9930
TITLE: Preparation of pyridazine, pyrimidine, and pyridine heterocyclic compounds as antiviral agents against hepatitis C virus
INVENTOR(S): Ueno, Hiroshi; Shimada, Takashi; Aoyagi, Kouichi; Katoh, Susumu; Shinkai, Hisashi; Motomura, Takahisa; Komoda, Yasumasa; Otsubaki, Tomoko; Soejima, Yuki; Kawahara, Iichiro
PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan
SOURCE: PCT Int. Appl., 1247pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007058392	A1	20070524	WO 2006-JP323637	20061121
WO 2007058392	A9	20070705		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
JP 2007291059	A	20071108	JP 2006-314905	20061121
EP 1953147	A1	20080806	EP 2006-833441	20061121
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				

PRIORITY APPLN. INFO.: JP 2005-336429 A 20051121
US 2005-742308P P 20051205
JP 2006-92163 A 20060329
US 2006-790837P P 20060410
WO 2006-JP323637 W 20061121

OTHER SOURCE(S): MARPAT 147:9930
GI



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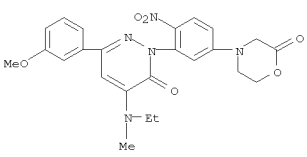
L6 ANSWER 9 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

AB The heterocyclic compds. represented by the general formula (I) [Q1, Q3 = N, CR50, CO; R50 = H, C1-6 alkyl, C1-6 alkoxy; Q2, Q5 = N, C; Q4 = N, C, CH; R1 = H, halo, cyano, NO2, (un)substituted C1-6 alkyl, C2-6 alkenyl, or
C2-6 alkynyl, etc.; R2 = H, C1-6 alkyl; or R1 and R2 together represent a carbocyclic or heterocyclic ring; the ring A = (un)substituted monocyclic aryl or heteroaryl; the ring B = (un)substituted monocyclic aryl or heteroaryl, C3-8 cycloalkyl, or C3-8 cycloalkenyl] or pharmaceutically acceptable salts are prepared These compds. including
2H-pyridazin-3-one,
2,5,6,7-tetrahydrocyclopenta[d]pyridazin-1-one,
1,2,3,6-tetrahydro-pyrrolo[2,3-d]pyridazin-7-one,
3,5-dihydro-2H-furo[2,3-d]pyridazin-4-one,
3,5-dihydro-2H-thieno[2,3-d]pyridazin-4-one,
1,3,4,7-tetrahydro-2H-pyrido[2,3-d]pyridazin-8-one, 2H-phthalazin-1-one,
2,5,6,7-tetrahydrocyclopenta[c]pyridin-1-one, and
7H-pyrido[2,3-d]pyridazin-8-one have an inhibition activity against entry (infection) of hepatitis C virus (HCV) into cells. Thus, cyclocondensation of Me 2-(3,5-dimethoxybenzoyl)cyclopent-1-ene-1-carboxylate with (5-bromo-2-trifluoromethylphenyl)hydrazine in the presence of CF3CO2H in methanol at 80° for 2 h gave 2-(5-bromo-2-trifluoromethylphenyl)-4-(3,5-dimethoxyphenyl)-2,5,6,7-tetrahydrocyclopenta[d]pyridazin-1-one which underwent methoxycarbonylation with methanol and carbon monoxide in the presence of palladium acetate and 1,3-bis(diphenylphosphino)propane in DMSO at 65° for 19 h and at 60° for 30 h and then in the presence of 1,1'-bis(diphenylphosphino)ferrocene palladium(II) dichloride-dichloromethane complex and 1,1'-bis(diphenylphosphino)ferrocene at 60° for 22 h to give Me

3-[4-(3,5-dimethoxyphenyl)-1-oxo-1,5,6,7-tetrahydrocyclopenta[d]pyridazin-2-yl]-4-trifluoromethylbenzoate (II). II showed IC50 of <100 nM against HCV infection of HepG2 cells. A tablet containing II was formulated.
IT 937200-61-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyridazine, pyrimidine, and pyridine heterocyclic compds. as
antiviral agents against hepatitis C virus)
RN 937200-61-8 CAPLUS
CN 2-Morpholinone,
4-[3-[5-(ethylmethylamino)-3-(3-methoxyphenyl)-6-oxo-1(6H)-pyridazinyl]-4-nitrophenyl]- (CA INDEX NAME)



L6 ANSWER 9 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

REFERENCE COUNT: 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

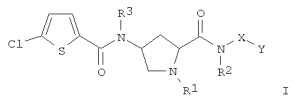
L6 ANSWER 10 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:537983 CAPLUS
DOCUMENT NUMBER: 146:501353
TITLE: Preparation of aminoprolinecarboxamides, especially 4-[[(5-chlorothien-2-yl)carbonyl]amino]pyrrolidinecarboxamides, as inhibitors of coagulation factor Xa
INVENTOR(S): Anselm, Lilli; Zbinden, Katrin Groebke; Haap, Wolfgang; Hilpert, Hans; Himber, Jacques; Kuhn, Bernd; Panday, Narendra; Ricklin, Fabienne; Thomi, Stefan
PATENT ASSIGNEE(S): Germany
SOURCE: U.S. Pat. Appl. Publ., 28pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070112001	A1	20070517	US 2006-593821	20061106
AU 2006314637	A1	20070524	AU 2006-314637	20061106
CA 2629080	A1	20070524	CA 2006-2629080	20061106
WO 2007057317	A1	20070524	WO 2006-EP68138	20061106
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1951717	A1	20080806	EP 2006-807756	20061106
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
MX 2008005996	A	20080515	MX 2008-5996	20080508
CN 101309919	A	20081119	CN 2006-80042450	20080514
KR 2008059448	A	20080627	KR 2008-711614	20080515
IN 2008CN02417	A	20090306	IN 2008-CN2417	20080515

PRIORITY APPLN. INFO.: EP 2005-110818 A 20051116
WO 2006-EP68138 W 20061106

OTHER SOURCE(S): CASREACT 146:501353; MARPAT 146:501353
GI

L6 ANSWER 10 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



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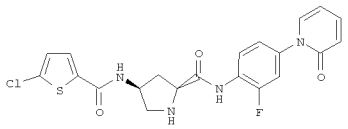
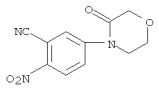
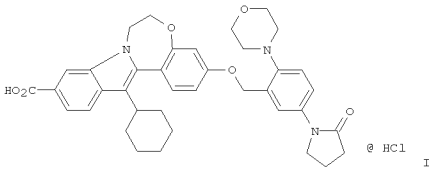


II

AB Title compds. [I; R1 = H, (un)substituted alk(en/yn)yl, alkoxycarbonyl, (hetero)aryl, etc.; R2, R3 = independently H, alkyl; or R1 and R2 form alk(en/yn)ylene, having 1-2 CH2 groups independently replaced with O, NH, CO, S, SO, SO2; X = (un)substituted (hetero)arylene, heterocyclylene, having 1-2 C atoms optionally replaced with a CO; Y = H, (un)substituted (hetero)aryl, heterocyclyl, having 1-2 C atoms optionally replaced with a CO; and their prodrugs and pharmaceutically acceptable salt] were prepared as inhibitors of coagulation factor Xa for treating thrombosis (no data). Thus, prolinecarboxamide salt II•TFA [4 step synthesis from (2S,4S)-4-[[[(9H-fluoren-9-yl)methoxy]carbonyl]amino]-1-(tert-butoxycarbonyl)pyrrolidine-2-carboxylic acid, 5-chlorothiophene-2-carboxylic acid, and TFA] inhibited Factor Xa with Ki = 0.023 μM.
IT 927870-46-0P, 2-Nitro-5-(3-oxomorpholin-4-yl)benzonitrile
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of aminoprolinecarboxamides as inhibitors of coagulation factor Xa)
RN 927870-46-0 CAPLUS
CN Benzonitrile, 2-nitro-5-(3-oxo-4-morpholinyl)- (CA INDEX NAME)



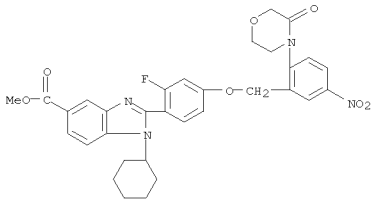
L6 ANSWER 11 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:526111 CAPLUS
DOCUMENT NUMBER: 147:132906
TITLE: Further studies on hepatitis C virus NS5B RNA-dependent RNA polymerase inhibitors toward improved replicon cell activities: Benzimidazole and structurally related compounds bearing the 2-morpholinophenyl moiety
AUTHOR(S): Hirashima, Shintaro; Oka, Takahiro; Ikegashira, Kazutaka; Noji, Satoru; Yamanaka, Hiroshi; Hara, Yoshinori; Goto, Hiroyuki; Mizojiri, Ryo; Niwa, Yasushi; Noguchi, Toru; Ando, Izuru; Ikeda, Satoru; Hashimoto, Hiromasa
CORPORATE SOURCE: Central Pharmaceutical Research Institute, Japan Tobacco Inc., Takatsuki, Osaka, 569-1125, Japan
SOURCE: Bioorganic & Medicinal Chemistry Letters (2007), 17(11), 3181-3186
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 147:132906
GI



I

AB Following the discovery of JTK-109 (1) as a potent inhibitor of hepatitis C virus NS5B RNA-dependent RNA polymerase, further studies toward the improvement of the cellular potency have been performed. A greater than 40-fold improvement was achieved through replacing the biphenyl moiety with a 2-morpholinophenyl group and the benzimidazole ring with the tetracyclic scaffold to afford compound (I) with an excellent replicon potency (EC50 = 7.6 nM).
IT 943513-39-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(benzimidazole and structurally related compds. bearing the 2-morpholinophenyl moiety as hepatitis C virus NS5B RNA-dependent RNA polymerase inhibitors)
RN 943513-39-1 CAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 1-cyclohexyl-2-[2-fluoro-4-[[5-nitro-2-(3-oxo-4-morpholinyl)phenyl]methoxy]phenyl]-, methyl ester (CA INDEX NAME)

L6 ANSWER 11 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 12 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:410196 CAPLUS
DOCUMENT NUMBER: 146:421970
TITLE: Preparation of oxazolidinones for the treatment of cerebral circulatory disorders
INVENTOR(S): Perzborn, Elisabeth; Krahn, Thomas
PATENT ASSIGNEE(S): Bayer Healthcare A.-G., Germany
SOURCE: PCT Int. Appl., 132pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007039134	A1	20070412	WO 2006-EP9204	20060922
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
DE 102005047558	A1	20080207	DE 2005-102005047558	20051004
AU 2006299128	A1	20070412	AU 2006-299128	20060922
CA 2624323	A1	20070412	CA 2006-2624323	20060922
EP 1933841	A1	20080625	EP 2006-805807	20060922
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2009510141	T	20090312	JP 2008-533897	20060922
IN 2008DN02514	A	20080627	IN 2008-DN2514	20080326
MX 2008004360	A	20080421	MX 2008-4360	20080401
NO 2008002044	A	20080703	NO 2008-2044	20080429
KR 2008059283	A	20080626	KR 2008-710681	20080502
CN 101321533	A	20081210	CN 2006-80045567	20080604
US 20080306070	A1	20081211	US 2008-89169	20080605

PRIORITY APPLN. INFO.: DE 2005-102005047558A 20051004
WO 2006-EP9204 W 20060922

OTHER SOURCE(S): MARPAT 146:421970
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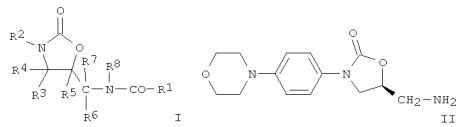
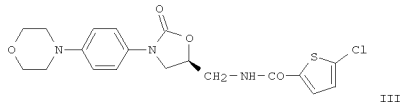
L6 ANSWER 12 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



I II

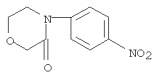


III

AB Title compds. I [R1 = substituted 2-thiophene with provisos; R2 = D-A-; A = phenylene; D = 5- or 6-membered heterocycle; R3, R4, R5, R6, R7, R8 = H]
and their pharmaceutically acceptable salts and formulations were prepared
For example, coupling of amine II and 5-chlorothiophene-2-carboxylic acid afforded oxazolidinone III. In a blood-coagulation factor Xa inhibition assay, compound III exhibited an IC50 value of 43 nM.

IT 446292-04-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of oxazolidinones for treatment of cerebral circulatory disorders)

RN 446292-04-2 CAPLUS
CN 3-Morpholinone, 4-(4-nitrophenyl)- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

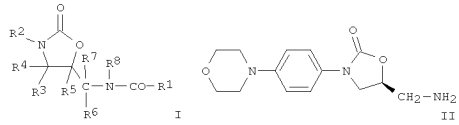
L6 ANSWER 13 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:409419 CAPLUS
DOCUMENT NUMBER: 146:421968
TITLE: Preparation of oxazolidinones for the treatment of microangiopathy
INVENTOR(S): Perzborn, Elisabeth; Misselwitz, Frank
PATENT ASSIGNEE(S): Bayer HealthCare A.-G., Germany
SOURCE: Ger. Offen., 84pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 102005048824	A1	20070412	DE 2005-102005048824	20051010
AU 2006301650	A1	20070419	AU 2006-301650	20060927
CA 2624963	A1	20070419	CA 2006-2624963	20060927
WO 2007042146	A1	20070419	WO 2006-EP9373	20060927
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1937271	A1	20080702	EP 2006-792284	20060927
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2009511513	T	20090319	JP 2008-534890	20060927
IN 2008DN02613	A	20080704	IN 2008-DN2613	20080328
MX 2008004705	A	20080502	MX 2008-4705	20080409
NO 2008002120	A	20080618	NO 2008-2120	20080506
KR 2008067647	A	20080721	KR 2008-711170	20080509
CN 101325957	A	20081217	CN 2006-80046367	20080610

PRIORITY APPLN. INFO.: DE 2005-102005048824A 20051010
WO 2006-EP9373 W 20060927

OTHER SOURCE(S): MARPAT 146:421968
GI

L6 ANSWER 13 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



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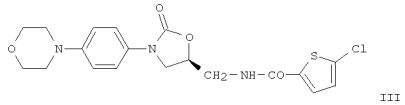
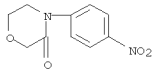


III

AB Title compds. I [R1 = substituted 2-thiophene with provisos; R2 = D-A-; A = phenylene; D = 5 or 6-membered heterocycle; R3, R4, R5, R6, R7, R8 = H] and their pharmaceutically acceptable salts and formulations were prepared
For example, coupling of amine II and 5-chlorothiophen-2-carboxylic acid afforded oxazolidinone III. In a blood-coagulation factor Xa inhibition assay, oxazolidinone III exhibited an IC50 value of 43 nM.

IT 446292-04-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of oxazolidinones for treatment of microangiopathy)

RN 446292-04-2 CAPLUS
CN 3-Morpholinone, 4-(4-nitrophenyl)- (CA INDEX NAME)



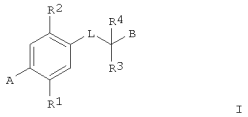
L6 ANSWER 14 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:259711 CAPLUS
DOCUMENT NUMBER: 146:316918
TITLE: Preparation of 1-phenyl-1,2,3-triazoles and related compounds as factor Xa inhibitors
INVENTOR(S): Pfau, Roland; Dahmann, Georg; Gerlach, Kai; Priepke, Henning; Wienen, Wolfgang; Schuler-Metz, Annette; Nar, Herbert
PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany; Boehringer Ingelheim Pharma Gmbh & Co. KG
SOURCE: PCT Int. Appl., 199pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007025940	A1	20070308	WO 2006-EP65706	20060828

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: EP 2005-107891 A 20050829

OTHER SOURCE(S): MARPAT 146:316918
GI



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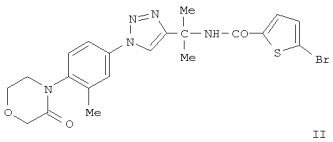
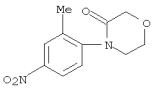


II

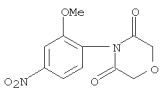
L6 ANSWER 14 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

AB Title compds. I [A = substituted pyrrolidones, thiopyrrolidones, etc.; B = G-T; G = N(R4b)CO, N(R4b)CON(R4b), N(R4b)SO2; R4b = H, alkyl; T = monocyclic 5 or 6-membered heteroaryl, phenyl; L = 5-membered monocyclic heteroaryl group with provisos; R1 = H, halo, alkyl, etc.; R2 = H, halo, alkyl, etc.; R3, R4 = H, alkenyl, alkynyl, etc.] and their pharmaceutically acceptable salts were prepared For example, 1-phenyl-1,2,3-triazole II was prepared from 2-bromo-5-carboxythiophene in 6-steps. Compds. I are claimed useful as factor Xa inhibitors.
IT 845729-40-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of phenyltriazoles and related compds. as factor Xa inhibitors)
RN 845729-40-0 CAPLUS
CN 3-Morpholinone, 4-(2-methyl-4-nitrophenyl)- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 15 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:242315 CAPLUS
DOCUMENT NUMBER: 147:459278
TITLE: 4-(2-Methoxy-4-nitrophenyl)morpholine-3,5-dione
AUTHOR(S): Bhuiyan, M. Delower H.; Jensen, Paul; Turner, Peter; Try, Andrew C.
CORPORATE SOURCE: Department of Chemistry and Biomolecular Sciences, Macquarie University, NSW 2109, Australia
SOURCE: Acta Crystallographica, Section E: Structure Reports Online (2007), E63(3), o1115-o1116
CODEN: ACSEBH; ISSN: 1600-5368
URL: http://journals.iucr.org/e/issues/2007/03/00/cs2029/cs2029.pdf
PUBLISHER: Blackwell Publishing Ltd.
DOCUMENT TYPE: Journal; (online computer file)
LANGUAGE: English
OTHER SOURCE(S): CASREACT 147:459278
AB The crystal structure of 4-(2-methoxy-4-nitrophenyl)morpholine-3,5-dione, C11H10N2O6, is stabilized by C-H···π interactions. Crystallog. data are given.
IT 952427-04-2P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and crystal and mol. structure of)
RN 952427-04-2 CAPLUS
CN 3,5-Morpholinedione, 4-(2-methoxy-4-nitrophenyl)- (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 16 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:230709 CAPLUS
DOCUMENT NUMBER: 146:295764
TITLE: Preparation of thiophene compounds containing cyclopentanecarboxamide moiety as FXa inhibitors
INVENTOR(S): Zbinden, Katrin Groebke; Haap, Wolfgang; Hilpert, Hans; Panday, Narendra; Ricklin, Fabienne
PATENT ASSIGNEE(S): Switz.
SOURCE: U.S. Pat. Appl. Publ., 28pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070049587	A1	20070301	US 2006-510831	20060825
AU 2006286535	A1	20070308	AU 2006-286535	20060828
CA 2619912	A1	20070308	CA 2006-2619912	20060828
WO 2007025949	A1	20070308	WO 2006-EP65732	20060828

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

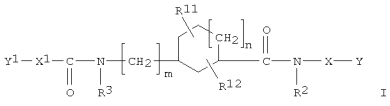
EP 1928864	A1	20080611	EP 2006-778383	20060828

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR

JP 2009507001	T	20090219	JP 2008-528494	20060828
MX 2008002732	A	20080326	MX 2008-2732	20080226
IN 2008CN01039	A	20080912	IN 2008-CN1039	20080229
KR 2008047581	A	20080529	KR 2008-707570	20080328
CN 101300252	A	20081105	CN 2006-80040829	20080430

PRIORITY APPLN. INFO.: EP 2005-107992 A 20050901
WO 2006-EP65732 W 20060828

OTHER SOURCE(S): CASREACT 146:295764; MARPAT 146:295764
GI



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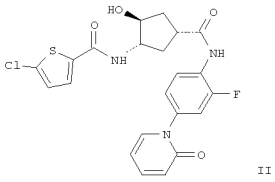


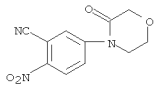
II

AB Title compds. I [R11 = carboxyl, cyano, alkoxycarbonyl, etc.; R12 = H; or R11 and R12 form, together with the same carbon atom to which they are attached, a member selected from -(C:O)-, cycloalkyl, heterocyclyl, etc. (wherein one or two carbon atoms of the heterocyclyl are optionally replaced with a carbonyl group.); R2 = H or alkyl; R3 = H or alkyl; X, X1 = arylene, heteroarylene or heterocyclylene (wherein the arylene, heteroarylene and heterocyclylene are optionally substituted by one or more substituents selected from alkyl, alkoxy, halo, etc.); Y = aryl, heteroaryl or heterocyclyl (where the aryl, heteroaryl and heterocyclyl are optionally substituted by one or more substituents selected from halo, cyano, nitro, etc.); Y1 = H, aryl, heteroaryl, etc. (wherein the aryl and heteroaryl are substituted by one or more substituents selected from halo, cyano, nitro, etc.); m = 0, 1; n = 0, 1], prodrugs and pharmaceutically acceptable salts thereof were prepared For example, HCl treatment of (1S,2S,4R)-N-BOC-1-amino-2-hydroxycyclopentane-4-carboxylic acid Me ester followed by BOP mediated acylation of 5-chloro-2-thiophenecarboxylic acid and reaction with 1-(4-amino-3-fluorophenyl)-1H-pyridin-2-one in the presence of trimethylaluminum afforded compound II. In coagulation factor Xa (FXa) inhibition assays, the Ki value of compound II was 0.015 μM.

IT 927870-46-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of thiophene compds. containing cyclopentanecarboxamide moiety as FXa inhibitors)

RN 927870-46-0 CAPLUS

CN Benzonitrile, 2-nitro-5-(3-oxo-4-morpholinyl)- (CA INDEX NAME)



ACCESSION NUMBER: 2007:193557 CAPLUS
DOCUMENT NUMBER: 146:274374
TITLE: Preparation of five-membered aromatic heterocycles, their prodrugs, and their pharmaceutical use
INVENTOR(S): Taniguchi, Takahiko; Fujimoto, Takuya; Tokuhara, Hidekazu; Tsuburai, Shogo
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 64pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2007045752	A	20070222	JP 2005-232382	20050810

PRIORITY APPLN. INFO.: JP 2005-232382 20050810

OTHER SOURCE(S): MARPAT 146:274374

GI

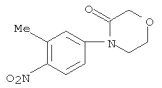
I

AB Title compds. I [X = bond, (un)substituted C1-6 alkylene; Y = NR1CO, NR2SO2, NR3CONR4, SOn; R1-R4 = H, (un)substituted C1-6 alkyl; n = 0-2; Z, Z' = bond, (un)substituted C1-6 alkylene, (un)substituted C2-6 alkenylene, (un)substituted C2-6 alkynylene, etc.; Ar = (un)substituted aryl, (un)substituted heterocyclyl; D, E = (un)substituted cyclic group; ring A = 5-membered aromatic heterocycle] or their salts are prepared The compds. are useful as long-lasting oral anticoagulants for treatment of myocardial infarction, cerebral infarction, pulmonary thromboembolism, etc. Thus, refluxing 5-chloro-N-prop-2-yn-1-ylthiophene-2-carboxamide with 4-(4-azidophenyl)morpholin-3-one in MePh gave 34% 5-chloro-N-[[1-[4-(3-oxomorpholin-4-yl)phenyl]-1H-1,2,3-triazol-4-yl]methyl]thiophene-2-carboxamide, which inhibited human FXa with IC50 value of 2.1 nM.

IT 845729-46-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aromatic heterocycles as blood coagulation factor Xa inhibitors)

RN 845729-46-6 CAPLUS

CN 3-Morpholinone, 4-(3-methyl-4-nitrophenyl)- (CA INDEX NAME)



L6 ANSWER 18 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:558961 CAPLUS
DOCUMENT NUMBER: 145:62922
TITLE: Preparation of pyrazinedicarboxamides and related compounds for the treatment of thromboembolic diseases
INVENTOR(S): Roehrig, Susanne; Jeske, Mario; Akbaba, Metin; Rosentreter, Ulrich; Boyer, Stephen; Fischer, Karin; Pohlmann, Jens; Tuch, Arounarith; Perzborn, Elisabeth; Gerdes, Christoph; Schlemmer, Karl-Heinz; Burkhardt, Nils; Allerheiligen, Swen; Nell, Peter; Arndt, Sabine; Lobell, Mario
PATENT ASSIGNEE(S): Bayer Healthcare A.-G., Germany
SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006061116	A1	20060615	WO 2005-EP12681	20051128
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
DE 102004059219	A1	20060614	DE 2004-102004059219	20041209
CA 2594102	A1	20060615	CA 2005-2594102	20051128
EP 1824844	A1	20070829	EP 2005-815232	20051128
EP 1824844	B1	20081105		
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2008522992	T	20080703	JP 2007-544770	20051128
AT 413396	T	20081115	AT 2005-815232	20051128
ES 2315932	T3	20090401	ES 2005-815232	20051128
US 20060287315	A1	20061221	US 2005-299342	20051208

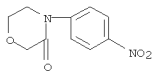
PRIORITY APPLN. INFO.: DE 2004-102004059219A 20041209
WO 2005-EP12681 W 20051128

OTHER SOURCE(S): CASREACT 145:62922; MARPAT 145:62922
GI

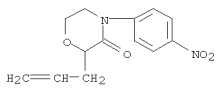
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [A = substituted pyrrolidonyl, imidazolidinonyl,

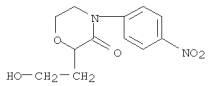
L6 ANSWER 18 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
2-oxazolidinonyl, etc.; R1, R2 = H, F, CL, etc.; R3 = H, alkyl, OH, etc.; Z = Ph, pyridyl, pyrimidinyl, etc.] and their pharmaceutically acceptable salts and their formulations were prepd. For example, 1,1'-Carbonyldiimidazole mediated cyclization of aminoalc. II afforded pyrazinedicarboxamide III in 19% yield. In blood-coagulation factor Xa inhibition assays, 8-examples of compds. I exhibited IC50 values ranging from 0.16-16 nM.
IT 446292-04-2, 4-(4-Nitrophenyl)morpholin-3-one
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyrazinedicarboxamides and related compds. for the treatment of thromboembolic diseases)
RN 446292-04-2 CAPLUS
CN 3-Morpholinone, 4-(4-nitrophenyl)- (CA INDEX NAME)



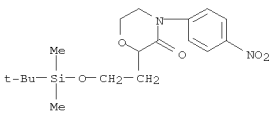
IT 890825-13-5P 890825-20-4P 890825-27-1P
890826-78-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrazinedicarboxamides and related compds. for the treatment of thromboembolic diseases)
RN 890825-13-5 CAPLUS
CN 3-Morpholinone, 4-(4-nitrophenyl)-2-(2-propen-1-yl)- (CA INDEX NAME)



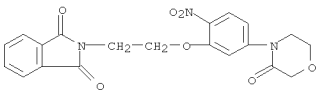
RN 890825-20-4 CAPLUS
CN 3-Morpholinone, 2-(2-hydroxyethyl)-4-(4-nitrophenyl)- (CA INDEX NAME)



RN 890825-27-1 CAPLUS
CN 3-Morpholinone, 2-[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]-4-(4-nitrophenyl)- (CA INDEX NAME)



RN 890826-78-5 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[2-[2-nitro-5-(3-oxo-4-morpholinyl)phenoxy]ethyl]- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

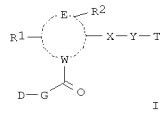
L6 ANSWER 19 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:273940 CAPLUS
DOCUMENT NUMBER: 144:331461
TITLE: Drugs containing carbonyl compounds and their use for the prophylaxis and/or therapy of thromboembolic illnesses
INVENTOR(S): Cezanne, Bertram; Dorsch, Dieter; Mederski, Werner; Tsaklakidis, Christos; Gleitz, Johannes
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: Ger. Offen., 77 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 102004045796	A1	20060323	DE 2004-102004045796	20040922
AU 2005287637	A1	20060330	AU 2005-287637	20050824
CA 2581172	A1	20060330	CA 2005-2581172	20050824
WO 2006032342	A2	20060330	WO 2005-EP9124	20050824
WO 2006032342	A3	20070111		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1791597	A2	20070606	EP 2005-774750	20050824
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
CN 101102818	A	20080109	CN 2005-80031723	20050824
JP 2008513387	T	20080501	JP 2007-531628	20050824
BR 2005015592	A	20080729	BR 2005-15592	20050824
MX 2007003175	A	20070518	MX 2007-3175	20070316
KR 2007054210	A	20070528	KR 2007-706440	20070321
US 20080003214	A1	20080103	US 2007-575711	20070321
IN 2007KN01362	A	20070720	IN 2007-KN1362	20070418

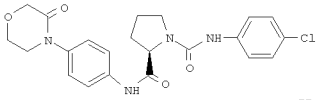
PRIORITY APPLN. INFO.: DE 2004-102004045796A 20040922
WO 2005-EP9124 W 20050824

OTHER SOURCE(S): MARPAT 144:331461
GI

L6 ANSWER 19 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



I



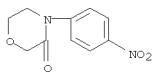
II

AB Use of heterocyclic carbonyl compds. I [R1, R2 = H, :O,,halogen, A, C.tplbond.CH, OR3,N(R3)2, NO2, CN, N3, CO2R3, CON(R3)2, [C(R4)2]n-Ar, [C(R4)2]n-heterocyclyl, [C(R4)2]n-cycloalkyl, OC(:O)R3, OC(:O)N(R3)2, NR3COA, NR3SO2A; R1R2 = bi- or spirocyclic 3- to 7-membered carbocycle or heterocycle (containing 0 - 3 N, O, or S); R3 = H, A, CH2C.tplbond.CH, CH2CH(OH)CH2OH, CH2CH(OH)CH2NH2, CH2CH(OH)CH2-heterocycle, [C(R4)2]n-Ar, [C(R4)2]n-heterocyclyl, [C(R4)2]n-cycloalkyl, [C(R4)2]n-CO2A, [C(R4)2]nN(R4)2; R4 = H, A; EW = 3- to 7-membered carbocycle or heterocycle (containing 0 - 3 N, O, or S); W = N, CR3, sp2-C; D = mono- or binuclear, (un)substituted aromatic carbocycle or heterocycle (containing 0 - 3 N, O, or S); G = [C(R4)2]n, [C(R4)2]n-NR3, [C(R4)2]nO, [C(R4)2]nS, [CR4:CR4]n; X = [C(R4)2]nCONR3[C(R4)2]n, [C(R4)2]nNR3CO[C(R4)2]n, [C(R4)2]nNR3[C(R4)2]n, [C(R4)2]nO[C(R4)2]n, [C(R4)2]nC(:O)[C(R4)2]n, [C(R4)2]nCO2[C(R4)2]n; Y = alkylene, cycloalkylene, heterodiyl, aryldiyl; T = mono- or binuclear, (un)substituted aromatic carbocycle or heterocycle (containing 0 - 3 N, O, or S); A = (un)branched C1-10-alkyl (optionally containing, O, S or CH:CH in the chain and replacing 1 - 7 H with F); n = 0 - 2; o = 1 - 3], their derivs., solvates, salts and stereoisomers, for the prophylaxis and/or therapy of thromboembolic illnesses. Thus, proline derivative II was prepared from N-Boc-D-proline via amidation with 4-(4-aminophenyl)morpholin-3-one in DMF containing 1-hydroxybenzotriazole hydrate, N-[3-(dimethylamino)propyl]-N'-ethylcarbodiimide hydrochloride and N-methylmorpholine, N-deprotection with aqueous HClin dioxane and carbamylation with 4-ClC6H4NCO in CH2Cl2 containing Et3N. The receptor binding activity of II was determined [IC50 = 1.8 x 10-8 M vs. FXa; IC50 = 2.3 x 10-8 M vs. TF/FVIIa].

IT 446292-04-2P, 4-(4-Nitrophenyl)morpholin-3-one
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and nitro group reduction of; drugs containing carbonyl compds. and their use for the prophylaxis and/or therapy of thromboembolic illnesses)

L6 ANSWER 19 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 446292-04-2 CAPLUS
CN 3-Morpholinone, 4-(4-nitrophenyl)- (CA INDEX NAME)



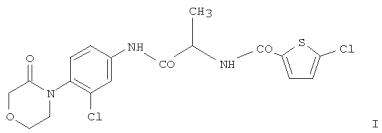
L6 ANSWER 20 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:1242417 CAPLUS
DOCUMENT NUMBER: 144:7085
TITLE: Synthesis of substituted amino acid thiophenecarboxamides for use as medicaments
INVENTOR(S): Pfau, Roland; Priepke, Henning; Gerlach, Kai; Wienen, Wolfgang; Schuler-Metz, Annette; Nar, Herbert; Handschuh, Sandra
PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Germany; Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G.
SOURCE: PCT Int. Appl., 268 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005111029	A1	20051124	WO 2005-EP4975	20050507
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005243535	A1	20051124	AU 2005-243535	20050507
CA 2564207	A1	20051124	CA 2005-2564207	20050507
EP 1747217	A1	20070131	EP 2005-747401	20050507
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BA, HR, YU				
CN 101014591	A	20070808	CN 2005-80023720	20050507
BR 2005010019	A	20070925	BR 2005-10019	20050507
JP 2007537180	T	20071220	JP 2007-512051	20050507
US 20050277628	A1	20051215	US 2005-125731	20050510
US 7476663	B2	20090113		
IN 2006DN06225	A	20070831	IN 2006-DN6225	20061025
MX 2006013213	A	20070208	MX 2006-13213	20061113
KR 2007012552	A	20070125	KR 2006-726224	20061213
PRIORITY APPLN. INFO.:			EP 2004-11384 A	20040513
			EP 2004-18807 A	20040807
			WO 2005-EP4975 W	20050507

OTHER SOURCE(S): MARPAT 144:7085
GI

L6 ANSWER 20 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

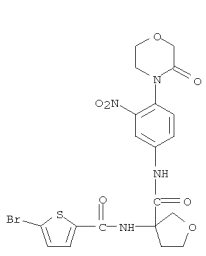


I

AB The invention relates to novel substituted thiophene-2-carboxamides, e.g. (I), their tautomers, enantiomers, diastereomers, mixts. and salts, in particular the physiol. compatible salts of said compds. containing inorg. or organic acids or bases, which exhibit an inhibitory effect on Factor Xa and serine proteases, for the treatment of disease or medical conditions. Thus, 3-chloro-4-fluoro-1-nitrobenzene was coupled with morpholine and the nitro group reduce to the amine to prepare an intermediate (II). 5-Chlorothiophen-2-carboxylic acid was coupled with 2-aminopropionic acid Me ester hydrochloride, the product deesterified, and the resulting free acid coupled with II to give I. Title compds. exhibited anticoagulant inhibitory activity against Factor Xa (no data), making them suitable for use in treatment of thrombotic diseases (no data).

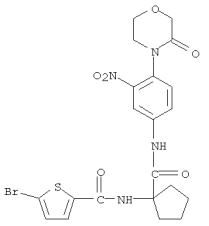
IT 1082369-86-5 1082371-63-8
RL: PRPH (Prophetic)
(Synthesis of substituted amino acid thiophenecarboxamides for use as medicaments)

RN 1082369-86-5 CAPLUS
CN 3-Furancarboxamide, 3-[[(5-bromo-2-thienyl)carbonyl]amino]tetrahydro-N-[3-nitro-4-(3-oxo-4-morpholinyl)phenyl]- (CA INDEX NAME)

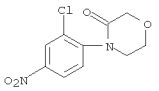


RN 1082371-63-8 CAPLUS
CN 2-Thiophenecarboxamide, 5-bromo-N-[1-[[[3-nitro-4-(3-oxo-4-morpholinyl)phenyl]amino]carbonyl]cyclopentyl]- (CA INDEX NAME)

L6 ANSWER 20 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



IT 869785-51-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted amino acid thiophenecarboxamides for use as
medicaments)
RN 869785-51-3 CAPLUS
CN 3-Morpholinone, 4-(2-chloro-4-nitrophenyl)- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L6 ANSWER 21 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN

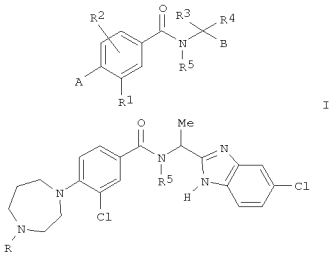
ACCESSION NUMBER: 2005:979645 CAPLUS
DOCUMENT NUMBER: 143:286176
TITLE: Preparation of phenylcarboxamides as Factor Xa inhibitors
INVENTOR(S): Gerlach, Kai; Pfau, Roland; Priepke, Henning; Wienen, Wolfgang; Schuler-Metz, Annette Maria; Dahmann, Georg;
Nar, Herbert; Handschuh, Sandra Ruth; Hauel, Norbert; Kauffmann-Hefner, Iris
PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Germany; Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G.
SOURCE: PCT Int. Appl., 239 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005082895	A1	20050909	WO 2005-EP1796	20050222
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 102004009835	A1	20050915	DE 2004-102004009835	20040228
DE 102004060984	A1	20060622	DE 2004-102004060984	20041218
US 20050203078	A1	20050915	US 2005-56413	20050211
US 7371743	B2	20080513		
AU 2005217074	A1	20050909	AU 2005-217074	20050222
CA 2551788	A1	20050909	CA 2005-2551788	20050222
EP 1771441	A1	20070411	EP 2005-715433	20050222
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
BR 2005006988	A	20070710	BR 2005-6988	20050222
JP 2007523935	T	20070823	JP 2007-500123	20050222
CN 101103022	A	20080109	CN 2005-80012929	20050222
IN 2006DN04542	A	20070810	IN 2006-DN4542	20060807
MX 2006008978	A	20061020	MX 2006-8978	20060808
NO 2006004003	A	20060926	NO 2006-4003	20060906
KR 2007012384	A	20070125	KR 2006-719910	20060926
US 20080146539	A1	20080619	US 2007-960222	20071219

PRIORITY APPLN. INFO.:
DE 2004-102004009835A 20040228
DE 2004-102004060984A 20041218
US 2005-56413 A1 20050211
WO 2005-EP1796 W 20050222

OTHER SOURCE(S): MARPAT 143:286176

L6 ANSWER 21 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
GI

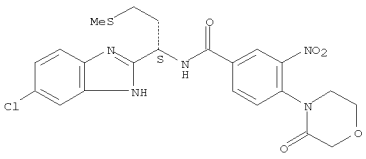


I

II

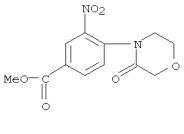
AB Title compds. I [A = heterocycle; R1 = H, halo, alkyl, etc.; R2 = H, halo,
alkyl; R3 = H, alkenyl, alkynyl, etc.; R4 = H, alkyl; R5 = H, alkyl; B = (un)substituted benzoimidazole, indole, pyrimidazole, etc.;] and their pharmaceutically acceptable salts and formulations were prepared For example, TFA mediated deprotection of Boc-diazepine II (R = Boc) afforded the free amine II (R = H) in 77% yield. Compds. I are claimed to be Factor Xa inhibitors (no data provided).
IT 864295-47-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenylcarboxamides as Factor Xa inhibitors)
RN 864295-47-6 CAPLUS
CN Benzamide,
N-[(1S)-1-(6-chloro-1H-benzimidazol-2-yl)-3-(methylthio)propyl]-3-nitro-4-(3-oxo-4-morpholinyl)- (CA INDEX NAME)

Absolute stereochemistry.

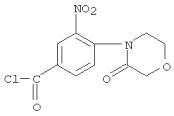


IT 864296-47-9P 864296-49-1P

L6 ANSWER 21 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of phenylcarboxamides as Factor Xa inhibitors)
RN 864296-47-9 CAPLUS
CN Benzoic acid, 3-nitro-4-(3-oxo-4-morpholinyl)-, methyl ester (CA INDEX NAME)



RN 864296-49-1 CAPLUS
CN Benzoyl chloride, 3-nitro-4-(3-oxo-4-morpholinyl)- (CA INDEX NAME)



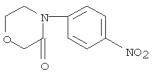
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L6 ANSWER 22 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:975634 CAPLUS
DOCUMENT NUMBER: 143:230189
TITLE: Preparation of β-amino acid derivatives as factor Xa inhibitors
INVENTOR(S): Urmann, Matthias; Nazare, Marc; Wehner, Volkmar; Matter, Hans; Bauer, Armin; Wagner, Michael
PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany
SOURCE: Eur. Pat. Appl., 87 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1571154	A1	20050907	EP 2004-4904	20040303
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
AU 2005229320	A1	20051013	AU 2005-229320	20050219
CA 2559948	A1	20051013	CA 2005-2559948	20050219
WO 2005095440	A1	20051013	WO 2005-EP1736	20050219
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1723164	A1	20061122	EP 2005-707524	20050219
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 1926148	A	20070307	CN 2005-80006850	20050219
BR 2005008320	A	20070724	BR 2005-8320	20050219
JP 2007535497	T	20071206	JP 2007-501155	20050219
MX 2006009847	A	20061116	MX 2006-9847	20060830
IN 2006CN03173	A	20070608	IN 2006-CN3173	20060901
US 20070179122	A1	20070802	US 2006-469513	20060901
KR 2006122950	A	20061130	KR 2006-718402	20060908

PRIORITY APPLN. INFO.: EP 2004-4904 A 20040303
WO 2005-EP1736 W 20050219

OTHER SOURCE(S): CASREACT 143:230189; MARPAT 143:230189
AB The invention relates to β-amino acid derivs. R-Q-NHCR3R4CR5R6CONR1-R2-V-G-M [R is mono- or bicyclic heterocyclyl (benzimidazolyl, 1,3-benzodioxolyl, benzofuranyl, etc.); Q is a direct bond or alkylene containing sulfonyl, imino and CO2 groups; R1 is H, (un)substituted alkyl, aryl or heterocyclyl; R2 is a direct bond or alkylene; V, M are independently (un)substituted aryl, heterocyclyl or other cyclic group; G is a direct bond, (CH2)0-2, alkylene containing sulfonyl, imino, S, etc.; R3-R6 are independently H, halo, alkyl, Ph, heterocyclyl, etc. (including stereoisomers and physiol.-tolerable salts)], which are reversible inhibitors of the blood clotting enzymes factor Xa and/or factor VIIa and exhibit a strong antithrombotic effect. Thus, 5-chloro-2-thiophenecarboxylic acid 2-[4-(3-oxomorpholin-4-yl)phenylcarbamoyl]ethylamide was prepd. and showed Ki = 30 nM for inhibition of factor Xa.
IT 446292-04-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of β-amino acid derivs. as factor Xa inhibitors)
RN 446292-04-2 CAPLUS
CN 3-Morpholinone, 4-(4-nitrophenyl)- (CA INDEX NAME)



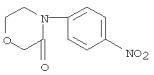
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 23 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:260040 CAPLUS
DOCUMENT NUMBER: 142:316848
TITLE: Method for the production of 4-(4-aminophenyl)-3-morpholinone
INVENTOR(S): Thomas, Christian; Berwe, Mathias; Straub, Alexander
PATENT ASSIGNEE(S): Bayer Healthcare AG, Germany
SOURCE: PCT Int. Appl., 16 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005026135	A1	20050324	WO 2004-EP10054	20040909
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10342570	A1	20050414	DE 2003-10342570	20030915
AU 2004272255	A1	20050324	AU 2004-272255	20040909
CA 2538906	A1	20050324	CA 2004-2538906	20040909
EP 1664004	A1	20060607	EP 2004-764990	20040909
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1852902	A	20061025	CN 2004-80026537	20040909
CN 100430384	C	20081105		
BR 2004014382	A	20061121	BR 2004-14382	20040909
JP 2007505821	T	20070315	JP 2006-525762	20040909
IN 2006DN00954	A	20070810	IN 2006-DN954	20060223
US 20070066611	A1	20070322	US 2006-571364	20060309
MX 2006002883	A	20060605	MX 2006-2883	20060314

PRIORITY APPLN. INFO.: DE 2003-10342570 A 20030915
WO 2004-EP10054 W 20040909

OTHER SOURCE(S): CASREACT 142:316848
AB 4-(4-Aminophenyl)-3-morpholinone (I), a key intermediate for the factor Xa inhibitor 5-chloro-N-[{(5S)-2-oxo-3-[4-(3-oxo-4-morpholinyl)phenyl]-1,3-oxazolidin-5-yl}methyl]-2-thiophenecarboxamide, is prepared by reducing 4-(4-nitrophenyl)-3-morpholinone with hydrogen in the presence of a hydrogenation catalyst in an aliphatic alc., preferably EtOH. Thus, 2-PhNHCH2CH2OH is cyclized with ClCH2COCl in EtOH in presence of NaOH, the resulting 4-phenyl-3-morpholinone is nitrated, the nitro derivative extracted with Me2CO , recrystd., and reduced with Pd-C in EtOH to give I.
IT 446292-04-2P, 4-(4-Nitrophenyl)-3-morpholinone
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prodn. of 4-(4-aminophenyl)-3-morpholinone)
RN 446292-04-2 CAPLUS
CN 3-Morpholinone, 4-(4-nitrophenyl)- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 24 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:158650 CAPLUS
DOCUMENT NUMBER: 142:261542
TITLE: Method for production of N-arylmorpholinones from 5-chloro-2,3-dihydro-1,4-dioxin
INVENTOR(S): Dorsch, Dieter; Cezanne, Bertram; Mederski, Werner; Tsaklakidis, Christos; Wurziger, Hanns
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005016899	A1	20050224	WO 2004-EP7938	20040716
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10336716	A1	20050310	DE 2003-10336716	20030811
AU 2004265056	A1	20050224	AU 2004-265056	20040716
CA 2535412	A1	20050224	CA 2004-2535412	20040716
EP 1654240	A1	20060510	EP 2004-763281	20040716
EP 1654240	B1	20061102		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1832933	A	20060913	CN 2004-80022581	20040716
BR 2004013484	A	20061017	BR 2004-13484	20040716
AT 344252	T	20061115	AT 2004-763281	20040716
JP 2007501818	T	20070201	JP 2006-522920	20040716
ES 2275233	T3	20070601	ES 2004-763281	20040716
RU 2343149	C2	20090110	RU 2006-107291	20040716
IN 2006KN00042	A	20061208	IN 2006-KN42	20060104
MX 2006001567	A	20060515	MX 2006-1567	20060208
KR 2006066086	A	20060615	KR 2006-702811	20060210
US 20060217550	A1	20060928	US 2006-567848	20060210

PRIORITY APPLN. INFO.: DE 2003-10336716 A 20030811
WO 2004-EP7938 W 20040716

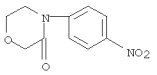
OTHER SOURCE(S): CASREACT 142:261542; MARPAT 142:261542
GI

I

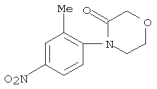
AB The invention relates to a method for production of compds. I [X = C6H4R1(R2)m; R1 = NO2, CN, CO2R3, COON(R3)2, COR3, SO2R4, SO2N(R3)2, CF3, F, Cl; R2 = H, Hal, A, OR3, NO2, CN, CO2R3, CON(R3)2, NR3C(:O)A, NR3C(:O)N(R3)2, NR3CO2R3, NR3SO2A, {C(R5)2}nAr, {C(R5)2}n-Het, {C(R5)2}n-cycloalkyl, COR3, SO2N(R3)2, SO2R4; R3 = H, A, {C(R5)2}nAr, {C(R5)2}n-Het; R4 = A, {C(R5)2}nAr, {C(R5)2}n-Het; R5 = H, A; Ar = (un)substituted Ph {optionally substituted up to 3 times with Hal, A, OR5, NO2, CN, CO2R5, CON(R5)2, NR5C(:O)A, NR5SO2A, COR5, SO2N(R5)2, S(O)nA}; Het = (un)substituted, (un)saturated or aromatic heterocycle with 1 to 4 heteroatoms (N, O, S) and optionally mono- or disubstituted {with Hal, A, OR5, NO2, CN, CO2R5, CON(R5)2, NR5C(:O)A, NR5SO2A, COR5, SO2N(R5)2, S(O)nA}; A = (un)branched or cyclic C1-12-alkyl (optionally having 1 or 2 CH2's replaced with O, S, CH:CH and/or 1 - 7 H's replaced with F); A' = (un)branched C1-6-alkyl; Hal = F, Cl, Br, I; n = 0 - 2; m = 0 - 4] and precursors for the same. The procedure for the preparation of I comprises: (a) reaction of XNH2 with 5-chloro-2,3-dihydro-1,4-dioxin (II) to give XNHC(:O)CH2OCH2CH2Cl; (b) cyclization of XNHC(:O)CH2OCH2CH2Cl to I; and (c) if a salt of I is formed, reaction with a base or acid to form I. Thus, 4-(4-nitrophenyl)-3-oxomorpholine (X = C6H4NO2-4) was prepared from II via amination/ring opening with 4-O2NC6H4NH2 in MeCN to give 4-O2NC6H4NHCOCH2OCH2CH2Cl, which was cyclized with K2CO3 in MeCN.

IT 446292-04-2P, 4-(4-Nitrophenyl)-3-oxomorpholine
845729-40-0P, 4-(2-Methyl-4-nitrophenyl)morpholin-3-one
845729-41-1P, 4-(2-Nitrophenyl)morpholin-3-one
845729-43-3P, 4-(3-Nitrophenyl)morpholin-3-one
845729-46-6P 845729-47-7P,
4-(2-Bromo-5-nitrophenyl)morpholin-3-one 845729-48-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of N-arylmorpholinones from 5-chloro-2,3-dihydro-1,4-dioxin)

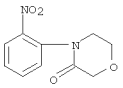
RN 446292-04-2 CAPLUS
CN 3-Morpholinone, 4-(4-nitrophenyl)- (CA INDEX NAME)



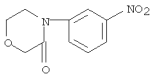
RN 845729-40-0 CAPLUS
CN 3-Morpholinone, 4-(2-methyl-4-nitrophenyl)- (CA INDEX NAME)



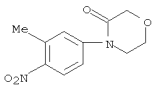
RN 845729-41-1 CAPLUS
CN 3-Morpholinone, 4-(2-nitrophenyl)- (CA INDEX NAME)



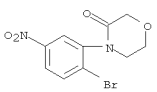
RN 845729-43-3 CAPLUS
CN 3-Morpholinone, 4-(3-nitrophenyl)- (CA INDEX NAME)



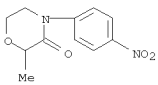
RN 845729-46-6 CAPLUS
CN 3-Morpholinone, 4-(3-methyl-4-nitrophenyl)- (CA INDEX NAME)



RN 845729-47-7 CAPLUS
CN 3-Morpholinone, 4-(2-bromo-5-nitrophenyl)- (CA INDEX NAME)



RN 845729-48-8 CAPLUS
CN 3-Morpholinone, 2-methyl-4-(4-nitrophenyl)- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

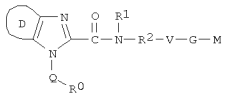
L6 ANSWER 25 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:1011964 CAPLUS
DOCUMENT NUMBER: 141:424194
TITLE: Preparation of benzimidazole derivatives as Factor Xa inhibitors
INVENTOR(S): Nazare, Marc; Wagner, Michael; Wehner, Volkmar; Matter, Hans; Urmann, Matthias; Ritter, Kurt
PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany
SOURCE: Eur. Pat. Appl., 94 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1479676	A1	20041124	EP 2003-11305	20030519
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
AU 2004238497	A1	20041125	AU 2004-238497	20040505
CA 2526065	A1	20041125	CA 2004-2526065	20040505
WO 2004101553	A1	20041125	WO 2004-EP4750	20040505
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1636216	A1	20060322	EP 2004-731162	20040505
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
BR 2004010446	A	20060606	BR 2004-10446	20040505
CN 1791598	A	20060621	CN 2004-80013937	20040505
JP 2006528213	T	20061214	JP 2006-529739	20040505
CN 101139346	A	20080312	CN 2007-10149160	20040505
NZ 543670	A	20080926	NZ 2004-543670	20040505
RU 2346944	C2	20090220	RU 2005-139555	20040505
US 20050009829	A1	20050113	US 2004-849436	20040519
MX 2005012231	A	20060818	MX 2005-12231	20051114
IN 2005CN03058	A	20070608	IN 2005-CN3058	20051118
NO 2005005910	A	20060210	NO 2005-5910	20051213

PRIORITY APPLN. INFO.: EP 2003-11305 A 20030519
US 2003-507201P P 20030930
CN 2004-80013937 A3 20040505
WO 2004-EP4750 W 20040505

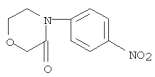
OTHER SOURCE(S): MARPAT 141:424194
GI

L6 ANSWER 25 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



I

AB Title compds. I [R0 = mono/bicyclic 6-14-membered aryl, etc.; Q = bond, alkylene, etc.; R1 = H, alkyl, etc.; R2 = bond, alkylene, etc.; V = 3-7-membered cyclic residue; G = bond, alkylaminosulfone, etc.; M = H, alkyl, carboxamido, etc.] are prepared For instance, 1-[(5-(5-Chlorothiophen-2-yl)isoxazol-3-yl)methyl]-1H-benzimidazole-2-carboxylic acid N-(1-isopropylpiperidin-4-yl)amide (II) is prepared in 4 steps; more than 36 synthetic examples are detailed. II has Ki = 0.0007 μM for Factor Xa. I exhibit a strong antithrombotic effect and are suitable, for example, for the therapy and prophylaxis of cardiovascular disorders like thromboembolic diseases or restenosis.
IT 446292-04-2P, 4-(4-Nitrophenyl)morpholin-3-one
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzimidazole derivs. as Factor Xa inhibitors)
RN 446292-04-2 CAPLUS
CN 3-Morpholinone, 4-(4-nitrophenyl)- (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

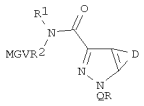
L6 ANSWER 26 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:1011963 CAPLUS
DOCUMENT NUMBER: 142:6526
TITLE: Preparation of indazolecarboxamides as factor VIIa and/or factor Xa inhibitors
INVENTOR(S): Nazare, Marc; Wehner, Volkmar; Laux, Volker; Urmann, Matthias; Bauer, Armin; Matter, Hans
PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany
SOURCE: Eur. Pat. Appl., 103 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1479675	A1	20041124	EP 2003-11303	20030519
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
AU 2004238499	A1	20041125	AU 2004-238499	20040505
CA 2528220	A1	20041125	CA 2004-2528220	20040505
WO 2004101556	A1	20041125	WO 2004-EP4753	20040505
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1628972	A1	20060301	EP 2004-731155	20040505
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
BR 2004010430	A	20060606	BR 2004-10430	20040505
JP 2006528942	T	20061228	JP 2006-529742	20040505
US 20040235824	A1	20041125	US 2004-849088	20040519
US 7365088	B2	20080429		
MX 2005012346	A	20060525	MX 2005-12346	20051116

PRIORITY APPLN. INFO.: EP 2003-11303 A 20030519
US 2003-507171P P 20030930
WO 2004-EP4753 W 20040505

OTHER SOURCE(S): CASREACT 142:6526; MARPAT 142:6526
GI

L6 ANSWER 26 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



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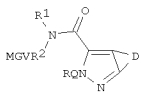
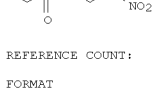


II

AB Title compds. [I, II; R = (substituted) mono- or bicyclic aryl, heterocyclyl; D = atoms to form a (substituted) 4-8 membered (heterocyclic) (aromatic) ring; R1 = H, (substituted) alkyl, aminocarbonylalkyl, alkoxycarbonylalkyl, aryl, heterocyclyl, etc.; R2 = bond, alkylene; V = (substituted) heterocyclyl, aryl; G = bond, (CH2)mNR1OSO2(CH2)n, (CH2)mNR1OSO2(CH2)n, (CH2)mCH(OH)(CH2)n, (CH2)m, (CH2)mO(CH2)n, (CH2)mS(CH2)n, etc.; m, n = 0-6; R10 = H, alkyl, hydroxyalkyl, alkoxyalkyl, perfluoroalkyl, with provisos], were prepared Thus, 1-[5-(5-chlorothien-2-yl)isoxazol-3-ylmethyl]-5-(cyanamide-1-carbonyl)-1H-indazole-3-carboxylic acid (1-isopropylpiperidin-4-yl)amide (preparation outlined) inhibited factor Xa with Ki = 5 nM.
IT 446292-04-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indazolecarboxamides as factor VIIa and/or factor Xa inhibitors)
RN 446292-04-2 CAPLUS
CN 3-Morpholinone, 4-(4-nitrophenyl)- (CA INDEX NAME)

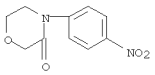


REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L6 ANSWER 27 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:880502 CAPLUS
DOCUMENT NUMBER: 142:68502
TITLE: Chlorothiophenecarboxamides as P1 surrogates of inhibitors of blood coagulation factor Xa
AUTHOR(S): Mederski, Werner W. K. R.; Cezanne, Bertram; van Amsterdam, Christoph; Buehring, Karl-Ulrich; Dorsch, Dieter; Gleitz, Johannes; Maerz, Joachim; Tsaklakidis, Christos
CORPORATE SOURCE: Preclinical Pharmaceutical Research, Merck KGaA, Darmstadt, 64271, Germany
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(23), 5817-5822
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:68502

AB Neutral chlorothiophenecarboxamides bearing an amino acid and a substituted aniline were synthesized and investigated for their factor Xa inhibitory activity in vitro. From selected 2-methylphenyl morpholinones the solution properties were determined The most soluble and active compds. were then investigated in different animal species to compare the pharmacokinetic parameters. This led to a potent, water soluble and orally bioavailable candidate for further development: EMD 495235.

IT 446292-04-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(chlorothiophenecarboxamide inhibition of blood coagulation factor Xa)
RN 446292-04-2 CAPLUS
CN 3-Morpholinone, 4-(4-nitrophenyl)- (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 28 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:5775 CAPLUS
DOCUMENT NUMBER: 138:89797
TITLE: Preparation of substituted oxazolidinones for combinational therapy in the treatment and/or prophylaxis of thromboembolic diseases
INVENTOR(S): Straub, Alexander; Lampe, Thomas; Pernerstorfer, Josef; Perzborn, Elisabeth; Pohlmann, Jens; Roehrig, Susanne; Schlemmer, Karl-Heinz
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 161 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003000256	A1	20030103	WO 2002-EP6237	20020607
WO 2003000256	A9	20030206		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10129725	A1	20030102	DE 2001-10129725	20010620
CA 2451258	A1	20030103	CA 2002-2451258	20020607
AU 2002312982	A1	20030108	AU 2002-312982	20020607
AU 2002312982	B2	20080124		
EE 200400020	A	20040415	EE 2004-20	20020607
EP 1411932	A1	20040428	EP 2002-738154	20020607
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002010941	A	20040608	BR 2002-10941	20020607
CN 1523986	A	20040825	CN 2002-812411	20020607
HU 2004000240	A2	20040830	HU 2004-240	20020607
HU 2004000240	A3	20060228		
JP 2004534083	T	20041111	JP 2003-506901	20020607
NZ 530223	A	20050729	NZ 2002-530223	20020607
RU 2321407	C2	20080410	RU 2004-101404	20020607
IN 2003DN02042	A	20090227	IN 2003-DN2042	20031128
MX 2003011519	A	20041028	MX 2003-11519	20031211
BG 108443	A	20050331	BG 2003-108443	20031212
ZA 2003009799	A	20041220	ZA 2003-9799	20031218
NO 2003005743	A	20040217	NO 2003-5743	20031219
US 20040242660	A1	20041202	US 2004-481297	20040628
IN 2004DN04054	A	20070427	IN 2004-DN4054	20041220

PRIORITY APPLN. INFO.:
DE 2001-10129725 A 20010620
WO 2002-EP6237 W 20020607
IN 2003-DN2042 A3 20031128

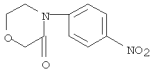
OTHER SOURCE(S): MARPAT 138:89797
GI

L6 ANSWER 28 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to combinations of (A) oxazolidinones I [R1 = 5-X-2-thienyl (X = Cl, Br, Me, CF3); R2 = DA; A = phenylene; D = 5- or 6-membered heterocyclic ring containing S, N or O; R4 - R8 = H], or their pharmaceutically acceptable salts, hydrates, prodrugs or their mixts. and (B) other pharmaceutically active ingredients; to a method for producing said combinations; and to the use thereof as medicaments, in particular for the treatment and/or prophylaxis of thrombo-embolic diseases. Thus, the claimed oxazolone II was prepared from epoxide III via epoxide ring opening with aniline derivative IV, cyclization with carbonyldiimidazole, and N-acylation with 5-chlorothiophene-2-sulfonyl chloride. II was tested for antithrombotic activity in the arteriovenous shunt model (Rat) after [ED50 = 3 mg/kg (p.o.); IC50 = 0.7 nM]; II had a synergistic effect when used in combination with clopidogrel.

IT 446292-04-2P, 4-(4-Nitrophenyl)morpholin-3-one
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrogenation of; preparation of substituted oxazolidinones for combinational therapy in the treatment and/or prophylaxis of thromboembolic diseases)
RN 446292-04-2 CAPLUS
CN 3-Morpholinone, 4-(4-nitrophenyl)- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

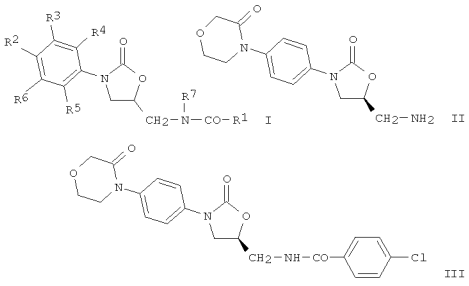
L6 ANSWER 29 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:609543 CAPLUS
DOCUMENT NUMBER: 137:169507
TITLE: Preparation of oxazolidinones and their use as inhibitors of human blood-coagulation factor Xa
INVENTOR(S): Straub, Alexander; Lampe, Thomas; Pernerstorfer, Josef; Perzborn, Elisabeth; Pohlmann, Jens; Roehrig, Susanne; Schlemmer, Karl-Heinz
PATENT ASSIGNEE(S): Bayer Ag, Germany
SOURCE: Ger. Offen., 20 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10105989	A1	20020814	DE 2001-10105989	20010209
CA 2437587	A1	20020822	CA 2002-2437587	20020128
WO 2002064575	A1	20020822	WO 2002-EP857	20020128
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002235875	A1	20020828	AU 2002-235875	20020128
EP 1366029	A1	20031203	EP 2002-702317	20020128
EP 1366029	B1	20050928		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004521905	T	20040722	JP 2002-564508	20020128
ES 2250612	T3	20060416	ES 2002-702317	20020128
US 20050080081	A1	20050414	US 2004-470861	20040409
US 7034017	B2	20060425		
US 20060173047	A1	20060803	US 2006-394543	20060331

PRIORITY APPLN. INFO.:
DE 2001-10105989 A 20010209
WO 2002-EP857 W 20020128
US 2004-470861 A3 20040409

OTHER SOURCE(S): MARPAT 137:169507
GI

L6 ANSWER 29 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



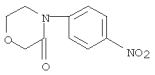
I II III

AB Title compds. I [R1 = (un)substituted aryl or heteroaryl with 1-2 heteroatoms, e.g. N, O, S; R2 = CONR8R9, NR10COR11, N(O)xR12R13; R3-R6 = H, halo, alkyl, etc.; R7 = H, alkyl; R8 = H, (un)substituted alkyl, e.g., halo, amino, OH, etc.; R9-R11 = (un)substituted alkyl, e.g., halo, amino, OH, etc.; R8 and R9 are bond together with N atom to form a heterocyclic ring; R10, R11 with N(CO) form a heterocyclic ring; R12 and R13 are bond together with N atom to form a heterocyclic ring; x = 0, 1] were prepared For example, coupling of II, e.g., prepared from 2-[(2S)-oxiranylmethyl]-1H-isoindole-1,3(2H)-dione in 3 steps, and 4-chlorobenzoyl chloride provide claimed oxazolidinone III in 89% yield. Oxazolidinone III inhibited human blood-coagulation factor Xa with an IC50 of 20 nM. Compds. I are useful in the area of blood coagulation.

IT 446292-04-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of oxazolidinones and their use as inhibitors of human blood-coagulation factor Xa)

RN 446292-04-2 CAPLUS

CN 3-Morpholinone, 4-(4-nitrophenyl)- (CA INDEX NAME)



L6 ANSWER 30 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:555466 CAPLUS

DOCUMENT NUMBER: 137:125096

TITLE: Preparation of phenyl derivatives containing inhibitors of coagulation factor for prophylaxis and/or therapy of thromboembolic disorders

INVENTOR(S): Dorsch, Dieter; Mederski, Werner; Tsaklakidis, Christos; Cezanne, Bertram; Gleitz, Johannes; Barnes, Christopher

PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany

SOURCE: PCT Int. Appl., 133 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002057236	A1	20020725	WO 2001-EP14296	20011205
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10102322	A1	20020725	DE 2001-10102322	20010119
CA 2434937	A1	20020725	CA 2001-2434937	20011205
AU 2002227993	A1	20020730	AU 2002-227993	20011205
AU 2002227993	B2	20070809		
EP 1351938	A1	20031015	EP 2001-989580	20011205
EP 1351938	B1	20070411		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001016804	A	20040217	BR 2001-16804	20011205
CN 1518541	A	20040804	CN 2001-823061	20011205
JP 2004535362	T	20041125	JP 2002-557917	20011205
JP 4180375	B2	20081112		
HU 2005000110	A2	20050628	HU 2005-110	20011205
AT 359271	T	20070515	AT 2001-989580	20011205
ES 2284718	T3	20071116	ES 2001-989580	20011205
MX 2003006483	A	20030922	MX 2003-6483	20030718
IN 2003KN01033	A	20060602	IN 2003-KN1033	20030813
ZA 2003006419	A	20041118	ZA 2003-6419	20030818
US 20040087582	A1	20040506	US 2003-466680	20031218
US 7273867	B2	20070925		

PRIORITY APPLN. INFO.:

DE 2001-10102322	A	20010119
WO 2001-EP14296	W	20011205

OTHER SOURCE(S): MARPAT 137:125096

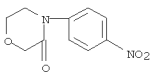
AB Novel compds. of the formula R1R2C6H3-W-X-Y-T in which W, X, Y, T, R1 and R2 are as defined in Patent Claim 1, are inhibitors of coagulation factor Xa and can be employed for the prophylaxis and/or therapy of thromboembolic disorders. Thus, 3-(5-methyl-1,2,4-oxadiazol-3-yl)phenol wa reacted with Et 2-bromovalerate, sodium hydroxide, thionyl chloride, 4-morpholin-4-ylaniline, followed a hydrogenation in acetic acid to give

L6 ANSWER 30 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
2-(3-amidinophenoxy)-N-(4-morpholin-4-ylphenyl)valeramide acetate, showing IC50=3x10-7 M and IC50=4.9x10-7 M.

IT 446292-04-2
RL: PRPH (Prophetic)
(Preparation of phenyl derivatives containing inhibitors of coagulation factor for prophylaxis and/or therapy of thromboembolic disorders)

RN 446292-04-2 CAPLUS

CN 3-Morpholinone, 4-(4-nitrophenyl)- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 31 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:654699 CAPLUS

DOCUMENT NUMBER: 135:211044

TITLE: Preparation of 3-aza-6,8-dioxabicyclo[3.2.1]octanecarboxylates and analogs

INVENTOR(S): Guarna, Antonio; Menchi, Gloria; Occhiato, Ernesto Giovanni; Machetti, Fabrizio; Scarpi, Dina

PATENT ASSIGNEE(S): Universita Degli Studi di Firenze, Italy

SOURCE: Eur. Pat. Appl., 26 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1130022	A1	20010905	EP 2000-104135	20000229
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CA 2401693	A1	20010907	CA 2001-2401693	20010227
WO 2001064686	A1	20010907	WO 2001-EP2185	20010227
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001242436	B2	20050915	AU 2001-242436	20010227
US 20030176414	A1	20030918	US 2002-220556	20021101

PRIORITY APPLN. INFO.:

EP 2000-104135	A	20000229
WO 2001-EP2185	W	20010227

OTHER SOURCE(S): CASREACT 135:211044; MARPAT 135:211044

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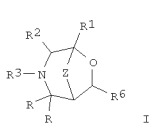
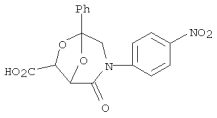


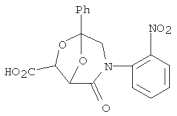
I

AB Title compds. [e.g., I; RR = O or each R = H; R1 = (un)substituted Ph; R2 = H, Me, CH2Ph; R3 = (un)substituted phenyl(methyl), CH(CO2H)CH2Ph, allyl, etc.; R6 = H, Me, CO2H, CH2OH; Z = O or NH] were prepared Thus, PhCOCH2NHCH2Ph was N-acylated by 1,4-dioxane-2,3-dicarboxylic acid monomethyl ester and the product cyclized to give I (RR = O, R1 = R3 = CH2Ph, R2 = H, R6 = CO2Me, Z = O). The method is suitable for solid phase

L6 ANSWER 31 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
synthesis and the prepn. of combinatorial libraries.
IT 357667-21-1P 357667-28-8P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of 3-aza-6,8-dioxabicyclo[3.2.1]octanecarboxylates and analogs)
RN 357667-21-1 CAPLUS
CN 6,8-Dioxa-3-azabicyclo[3.2.1]octane-7-carboxylic acid, 3-(4-nitrophenyl)-2-oxo-5-phenyl- (CA INDEX NAME)



RN 357667-28-8 CAPLUS
CN 6,8-Dioxa-3-azabicyclo[3.2.1]octane-7-carboxylic acid, 3-(2-nitrophenyl)-2-oxo-5-phenyl- (CA INDEX NAME)

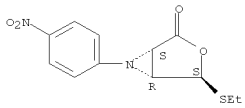


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 32 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

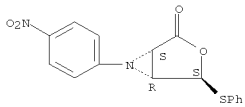
L6 ANSWER 32 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:26806 CAPLUS
DOCUMENT NUMBER: 132:194327
TITLE: Pseudoesters and derivatives. Part 38. 1,3-Dipolar cycloadditions of aryl azides and an aziridine, via azomethine ylide, to 2(5H)-furanones substituted at the 5-position by methoxy and sulfur bearing groups
AUTHOR(S): Gonzalez, Gemma; Martin, M. Victoria; Paredes, M. Carmen
CORPORATE SOURCE: Instituto de Quimica Organica General, C.S.I.C., Madrid, 28006, Spain
SOURCE: Heterocycles (2000), 52(1), 237-251
CODEN: HTCYAM; ISSN: 0385-5414
PUBLISHER: Japan Institute of Heterocyclic Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 132:194327
AB The behavior of the 2(5H)-furanones towards p-methoxy- and p-nitrophenyl azides has been investigated, in particular with respect to the regio- and stereoselectivity. The 1,3-dipolar cycloaddn. of the azomethine ylide generated by thermal ring opening of di-Me trans-1-(p-methoxyphenyl)aziridine-2,3-dicarboxylate to 2(5H)-furanones proceeds in good yield and affords functionalized furo[3,4-c]pyrrol-3-one derivs.
IT 259728-44-4P 259728-47-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(1,3-dipolar cycloaddns. of aryl azides or an azomethine ylide with furanones)
RN 259728-44-4 CAPLUS
CN 3-Oxa-6-azabicyclo[3.1.0]hexan-2-one, 4-(ethylthio)-6-(4-nitrophenyl)-, (1R,4R,5S)-rel- (CA INDEX NAME)

Relative stereochemistry.



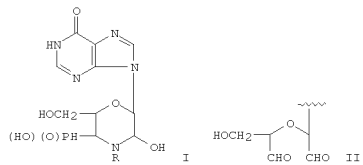
RN 259728-47-7 CAPLUS
CN 3-Oxa-6-azabicyclo[3.1.0]hexan-2-one, 6-(4-nitrophenyl)-4-(phenylthio)-, (1R,4R,5S)-rel- (CA INDEX NAME)

Relative stereochemistry.



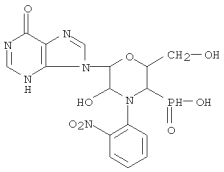
REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS

L6 ANSWER 33 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1991:82381 CAPLUS
DOCUMENT NUMBER: 114:82381
ORIGINAL REFERENCE NO.: 114:14089a,14092a
TITLE: Hydrophosphoryl derivatives of inosine: synthesis and antiviral activity
AUTHOR(S): Belakhov, V. V.; Levina, A. A.; Shenin, Yu. D.; Ionin, B. I.; Stilbans, E.; Rachkovskaya, L. A.; Chekunova, E. V.; Marennikova, S. S.; Shneider, M. A.
CORPORATE SOURCE: Vses. Nauchno-Issled. Tekhnol. Inst. Antibiol. Ferment. Med. Nazhachen., Leningrad, USSR
SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1990), 24(9), 44-8
CODEN: KHFZAN; ISSN: 0023-1134
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 114:82381
GI



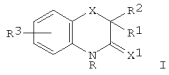
AB The title virucidal phosphono derivs. I [R = carboxyalkyl, o-O2NC6H4, p-IC6H4, carboxyaminopentyl, carboxy(methylthiopropyl)] were prepared in 31-62% yields by cyclocondensation of purinone II with RNH2. I had low toxicities and were virucidal against RNA- and DNA-containing viruses.
IT 132059-63-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and virucidal activity of)
RN 132059-63-3 CAPLUS
CN Phosphinic acid, [6-(1,6-dihydro-6-oxo-9H-purin-9-yl)-5-hydroxy-2-(hydroxymethyl)-4-(2-nitrophenyl)-3-morpholinyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 33 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



L6 ANSWER 34 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1987:18463 CAPLUS
DOCUMENT NUMBER: 106:18463
ORIGINAL REFERENCE NO.: 106:3169a,3172a
TITLE: Synthesis and anthelmintic activity of some new 6-and
7-isothiocyanato-2H-1,4-benzoxa(thia)zin-3(4H)-ones and benzoxa(thia)zin-3(4H)-thiones
AUTHOR(S): Shridhar, D. R.; Rao, K. Srinivasa; Singh, A. N.; Rastogi, K.; Jain, M. L.; Gandhi, S. S.; Krishnan, V. S. H.; Jogibhukta, M.; Lovekar, C. D.; et al.
CORPORATE SOURCE: Res. Cent., IDPL, Hyderabad, 500 037, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1985), 24B(12), 1263-7
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 106:18463
GI

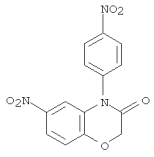


I

AB Title compds. I (X,X1 = O,S; R = H, alkyl, substituted Ph, aminoalkyl; R1 = H,Me; R2 = H, alkyl; R3 = 6-, 7-isothiocyanato) were prepared from I (R3 = NO2) via I (R3 = NH2) for anthelmintic testing. Some I possess a significant degree of activity against Ancylostoma ceylanicum in hamsters as well as against Hymenolepis nana in mice. The most potent compound, 6-isothiocyanato-2,2-dimethyl-2H-1,4-benzoxazin-3(4H)-one is orally effective against hookworm infection in dogs and cats at 1 + 100 mg/kg orally and 1 + 17 mg/kg orally resp. Anthelmintic activity against Ascardia galli in chicks is also reported for this compound

IT 105807-78-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)

RN 105807-78-1 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-nitro-4-(4-nitrophenyl)- (CA INDEX NAME)



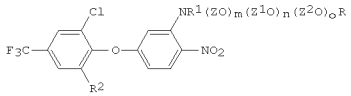
L6 ANSWER 34 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

L6 ANSWER 35 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1986:148484 CAPLUS
DOCUMENT NUMBER: 104:148484
ORIGINAL REFERENCE NO.: 104:23485a,23488a
TITLE: Polyalkoxyaminodiphenyl ethers having pesticidal activity
INVENTOR(S): Duerr, Dieter
PATENT ASSIGNEE(S): Ciba-Geigy A.-G. , Switz.
SOURCE: Eur. Pat. Appl., 38 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 149427	A1	19850724	EP 1984-810620	19841214
EP 149427	B1	19870708		
R: BE, CH, DE, FR, GB, IT, LI, NL				
US 4694105	A	19870915	US 1984-679860	19841210
JP 60172949	A	19850906	JP 1984-269595	19841220
PRIORITY APPLN. INFO.:			CH 1983-6758 A	19831220

GI



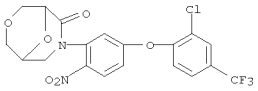
I

AB The title compds. (I; R = alkyl, alkylcarbonyl, etc.; R1 = H, alkyl, hydroxyalkyl, alkoxyalkyl, etc.; R2 = H, Cl, F; Z, Z1, Z2 = alkylene; m, n, o = 1 - 5) are prepared as herbicides, insecticides, and acaricides. I are typically prepared by condensation of the corresponding 2'-chloro-3,4-dinitro-4'-trifluoromethyldiphenyl ether (II) derivative with the pertinent polyalkoxyamine in the presence of an acid-binding agent. Thus, the condensation of II with H2NCH2CH2OCH2CH2OBu in Me2SO, gave 3-butoxyethoxyethylamino-2'-chloro-4-nitro-4'-trifluorodiphenyl ether (III). In preemergence pot expts., 4 kg III/ha totally controlled Avena sativa, Setaria indica, Sinapis alba and Stellaria media.

IT 101209-68-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as herbicide and insecticide)

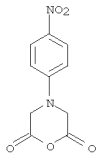
RN 101209-68-1 CAPLUS
CN 3,9-Dioxa-7-azabicyclo[3.3.1]nonan-6-one, 7-[5-[2-chloro-4-(trifluoromethyl)phenoxy]-2-nitrophenyl]- (CA INDEX NAME)

L6 ANSWER 35 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



L6 ANSWER 36 OF 36 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1972:113170 CAPLUS
DOCUMENT NUMBER: 76:113170
ORIGINAL REFERENCE NO.: 76:18277a,18280a
TITLE: Conjugated systems obtained by reaction of cyclic amides with dehydrogenation and dehydration agents. III. Mesoionic compounds. Anhydro dihydroxides of 1,4-disubstituted-3,5-bis(arylthio)-2,6-dihydroxypyrazinium
AUTHOR(S): Sorm, M.; Honzl, J.
CORPORATE SOURCE: Inst. Macromol. Chem., Czech. Acad. Sci., Prague, Czech.
SOURCE: Tetrahedron (1972), 28(3), 603-10
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 76:113170
GI For diagram(s), see printed CA Issue.
AB Derivs. of anhydro-3,5-bis(phenylthio)-2,6-dihydroxy-1,4-diphenylpyrazinium dihydroxide with H atoms at the para positions of the Ph rings systematically substituted with a NO2 group, Br and a OMe group and derivs. of the same compound with Ph groups systematically substituted
with Me groups at positions 1 and 4 were prepared The ir, NMR and electronic spectra of these compds. are in agreement with the assumed prevailing participation of an aromatic canonic structure (I) in their real structure.
IT 35676-16-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 35676-16-5 CAPLUS
CN 2,6-Morpholinedione, 4-(4-nitrophenyl)- (CA INDEX NAME)



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=> log y
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
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FULL ESTIMATED COST                                   204.54     425.17

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
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CA SUBSCRIBER PRICE                                   -29.52     -29.52

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